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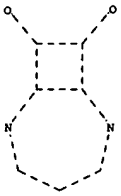

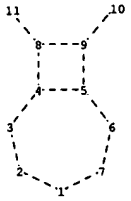

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    10 11
ring nodes :
    1 2 3 4 5 6 7 8 9
chain bonds :
    8-11 9-10
ring bonds :
    1-2 1-7 2-3 3-4 4-5 4-8 5-6 5-9 6-7 8-9
exact/norm bonds :
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Match level :
    1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
    11:CLASS

10/820,215

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=> d his

     (FILE 'HOME' ENTERED AT 11:23:58 ON 17 FEB 2006)

     FILE 'REGISTRY' ENTERED AT 11:24:06 ON 17 FEB 2006
L1             1 S 144912-63-0/RN

     FILE 'CAPLUS' ENTERED AT 11:24:16 ON 17 FEB 2006
L2            18 S L1
L3          4883 S INTRANASAL
L4             1 S L2 AND L3

=> d ibib abs hitstr total l2
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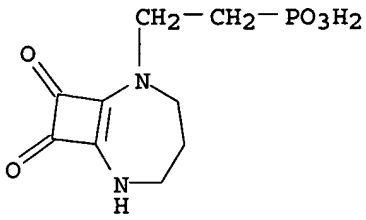
L2 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:572349 CAPLUS
DOCUMENT NUMBER: 143:103227
TITLE: Oral administration of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)alkyl] phosphonic acid and derivatives
INVENTOR(S): Benjamin, Eric J.; Cloud, William F.; Ashraf, Muhammad; Islam, Mohammed; Brandt, Michael R.; Tremblay, Gerald F.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 32 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005142192	A1	20050630	US 2004-961871		20041008
US 2005004079	A1	20050106	US 2004-820215		20040407
US 2005004080	A1	20050106	US 2004-820216		20040407
PRIORITY APPLN. INFO.:			US 2003-511560P	P	20031015
			US 2004-820215	A	20040407
			US 2004-820216	A	20040407
			US 2003-461490P	P	20030409
			US 2003-461571P	P	20030409

OTHER SOURCE(S): MARPAT 143:103227
AB Solid, pharmaceutical dosage forms of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)alkyl]phosphonic acid and derivs. thereof are disclosed. In addition, methods of use are disclosed for the treatment, inter alia, of cerebral vascular disorders, anxiety disorders; mood disorders; schizophrenia; schizophreniform disorder; schizoaffective disorder; cognitive impairment; chronic neurodegenerative disorders; inflammatory diseases; fibromyalgia; complications from herpes zoster; prevention of tolerance to opiate analgesia; withdrawal symptoms from addictive drugs; and pain. For example, enteric coated tablets contained [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl] phosphonic acid 200, croscarmellose sodium 7.05, Povidone 3.53, Avicel PH101 14.1, croscarmellose sodium 4.7, sodium lauryl sulfate 5.88 and magnesium stearate 1.18 mg.
IT **144912-63-0**
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral administration of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)alkyl] phosphonic acid and derivs. for the treatment of mental disorders and inflammatory diseases and pain relief)
RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)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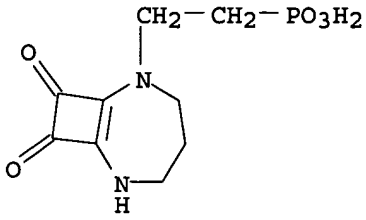
L8 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:510827 CAPLUS
DOCUMENT NUMBER: 143:109575
TITLE: Pharmacological characterization of antiepileptic drugs and experimental analgesics on low magnesium-induced hyperexcitability in rat hippocampal slices
AUTHOR(S): Arias, Robert L.; Bowlby, Mark R.
CORPORATE SOURCE: Discovery Neuroscience, Wyeth Research, Princeton, NJ, 08543-8000, USA
SOURCE: Brain Research (2005), 1047(2), 233-244
CODEN: BRREAP; ISSN: 0006-8993
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Perfusion of acute hippocampal slices with stimulatory buffers has long been known to induce rhythmic, large amplitude, synchronized spontaneous neuronal bursting in areas CA1 and CA3. The characteristics of this model of neuronal hyperexcitability were investigated in this study, particularly with respect to the activity of antiepileptic drugs and compds. representing novel mechanisms of analgesic action. Toward that end, low Mg2+/high K+-induced spontaneous activity was quantified by a virtual instrument designed for the digitization and anal. of bursting activity. Uninterrupted streams of extracellular field potentials were digitized and analyzed in 10-s sweeps, yielding four quantified parameters of neuronal hyperexcitability. Following characterization of the temporal stability of low Mg2+/high K+-induced hyperexcitability, compds. representing a diversity of functional mechanisms were tested for their effectiveness in reversing this activity. Of the four antiepileptic drugs tested in this model, only phenytoin proved ineffective, while valproate, gabapentin and carbamazepine varied in their potencies, with only the latter drug proving to be completely efficacious. In addition, three investigational compds. having analgesic potential were examined: ZD-7288, a blocker of HCN channels; EAA-090, an NMDA antagonist; and WAY-132983, a muscarinic agonist. Each of these compds. showed strong efficacy by completely blocking spontaneous bursting activity, along with potency greater than that of the antiepileptic drugs. These data indicate that pharmacol. agents with varying mechanisms of action are able to block low Mg2+/high K+-induced hyperexcitability, and thus this model may represent a useful tool for identifying novel agents and mechanisms involved in epilepsy and neuropathic pain.

IT **144912-63-0**, EAA-090
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. characterization of antiepileptic drugs and exptl. analgesics on low magnesium-induced hyperexcitability in rat hippocampal slices)

RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



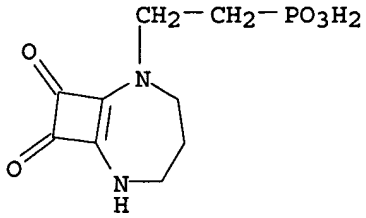
REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:473790 CAPLUS
DOCUMENT NUMBER: 143:71625
TITLE: Effects of the N-methyl-D-aspartate receptor antagonist perzinfotel [EAA-090; [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non1(7)-en-2-yl)-ethyl]phosphonic acid] on chemically induced thermal hypersensitivity
AUTHOR(S): Brandt, Michael R.; Cummons, Terri A.; Potestio, Lisa; Sukoff, Stacey J.; Rosenzweig-Lipson, Sharon
CORPORATE SOURCE: Neuroscience Discovery Research, Wyeth Research, Princeton, NJ, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2005), 313(3), 1379-1386
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Perzinfotel [EAA-090; [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non1(7)-en-2-yl)-ethyl]phosphonic acid] is a selective, competitive N-methyl-D-aspartate (NMDA) receptor antagonist with high affinity for the glutamate site. The current study evaluated whether perzinfotel would have antinociceptive effects or block thermal hypersensitivity associated with the administration of chemical irritants in rats. Perzinfotel lacked antinociceptive effects but dose- and time-dependently blocked prostaglandin E2 (PGE2)- and capsaicin-induced thermal hypersensitivity in a warm-water tail-withdrawal assay in rats. Doses of 10 mg/kg i.p. or 100 mg/kg oral blocked PGE2-induced hypersensitivity by 60 to 80%. The magnitude of reversal was greater than other neg. modulators of the NMDA receptor studied, such as uncompetitive channel blockers (e.g., memantine, dizocilpine, and ketamine), a NR2B selective antagonist (e.g., ifenprodil), and other glutamate antagonists [e.g., selfotel, 3-(2-carboxypiperazin-4-yl)propyl-1-phosphonic acid (CPP), D,L-(E)-2-amino-4-propyl-5-phosphono-3-pentenoic acid (CGP-39653)], up to doses that suppressed operant rates of responding. In contrast to other neg. modulators of the NMDA receptor studied, which typically decreased operant rates of responding at doses that lacked antinociceptive effects, perzinfotel did not modify response rates at doses that blocked irritant-induced thermal hypersensitivity. Collectively, these studies demonstrate that perzinfotel has therapeutic ratios for effectiveness vs. adverse effects superior to those seen with other competitive and uncompetitive NMDA receptor antagonists studied.

IT **144912-63-0**, EAA-090
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of N-Me-D-aspartate receptor antagonist perzinfotel [EAA-090] on chemical induced thermal hypersensitivity)

RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

see 3 of 18

L2 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:371071 CAPLUS
DOCUMENT NUMBER: 142:417206
TITLE: Oral administration of NMDA receptor antagonists
INVENTOR(S): Benjamin, Eric J.; Cloud, William F.; Ashraf, Muhammad; Islam, Mohammed; Brandt, Michael R.; Tremblay, Gerald F.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037287	A1	20050428	WO 2004-US34113	20041014
WO 2005037287	C1	20050630		

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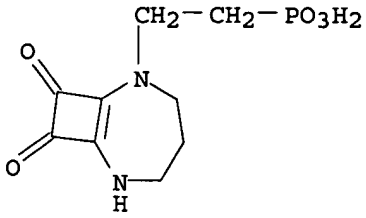
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-511560P P 20031015
OTHER SOURCE(S): MARPAT 142:417206

AB Solid, oral pharmaceutical dosage forms of [2-(8,9-dioxo-2,6-diazabicyclo [5.2.0]non-1(7)-en-2-yl)alkyl]phosphonic acid and its derivs. (salts) as NMDA receptor antagonists are disclosed. In addition, methods of use are disclosed for the treatment, inter alia, of cerebral vascular disorders, anxiety disorders; mood disorders; schizophrenia; schizophreniform disorder; schizoaffective disorder; cognitive impairment; chronic neurodegenerative disorders; inflammatory diseases; fibromyalgia; complications from herpes zoster; prevention of tolerance to opiate analgesia; withdrawal symptoms from addictive drugs; and pain. For example, a capsule formulation was prepared by wet granulation comprising (i) an intragranular phase containing [2-[8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl]ethyl]phosphonic acid 100 mg, Avicel PH 101 13.91 mg, povidone 3.61 mg, and croscarmellose sodium 5.77 mg, and (ii) an extragranular phase containing Avicel PH 101 14.42 mg, croscarmellose sodium 5.77 mg, and magnesium stearate 1.44 mg.

IT **144912-63-0**
RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation, bioavailability and therapeutic uses of oral compns. containing phosphonate derivs. as NMDA antagonists)

RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:371019 CAPLUS
DOCUMENT NUMBER: 142:411486
TITLE: Preparation of {2-[8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl]ethyl}phosphonate esters by cyclocondensation reaction of squaric acid derivatives with (aminopropyl)aminoethanephosphonate esters and subsequent hydrolysis to free acid
INVENTOR(S): Wilk, Bogdan K.; Vid, Galina; Liu, Weiguo; Shi, Xinxu
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 10 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005090470	A1	20050428	US 2004-969715	20041020
WO 2005040176	A2	20050506	WO 2004-US34831	20041020
WO 2005040176	A3	20051201		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-513611P P 20031022
OTHER SOURCE(S): CASREACT 142:411486; MARPAT 142:411486
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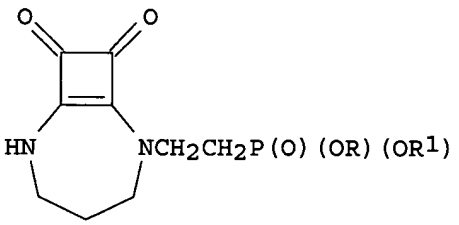

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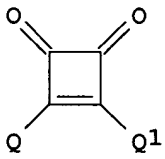


III

AB {2-[8,9-Dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl]ethyl}phosphonic acid (I; R = R1 = H), useful as an NMDA antagonist appropriate for treatment of stroke, epilepsy, Alzheimer's and Parkinson's diseases and pain (no data), is prepared by hydrolysis of its esters I (R, R1 = C1-6 alkyl, C1-6 haloalkyl; preferably R = R1 = Et), which in turn are prepared by reaction of a 1,3-diaminopropane derivative H2N(CH2)3NHCH2CH2P(O)(OR)(OR1) (II; same R, R1) with a cyclobutenedione (III; Q, Q1 = OH, halo, OX1; preferably Q, Q1 = OEt or OH; X1 = C1-6 alkyl, C1-6 haloalkyl, aryl) in a solvent HOX1 (same X1; preferably HOX1 = MeOH or EtOH); compds. II are

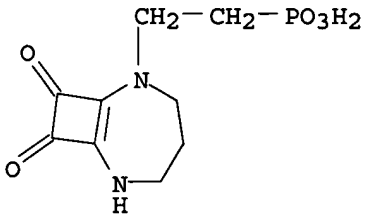
prepared by reaction of 1,3-diaminopropane with XCH2CH2P(O)(OR)(OR1) or CH2:CHP(O)(OR)(OR1) (same R, R1; X = leaving group, preferably halo) at a ratio of ≥2:1. In an example, treating 1.04 g di-Et squarate III (Q = Q1 = OEt) in 250 mL MeOH with 1.46 g II (R = R1 = Et; preparation given.) in 50 mL MeOH at 60° for 6 h and subsequent stirring overnight at room temperature gave 54% title ester I (R = R1 = Et).

IT **144912-63-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of [[dioxodiazabicyclo[5.2.0]nonenyl]ethyl]phosphonates by cyclocondensation reaction of squaric acid derivs. with diaminopropane phosphonate derivs. and hydrolysis to give free acid)

RN 144912-63-0 CAPLUS

CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



L2 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1059129 CAPLUS
DOCUMENT NUMBER: 142:32998
TITLE: Compositions of a cyclooxygenase-2 selective inhibitor and a cannabinoid agent for the treatment of central nervous system damage
INVENTOR(S): Stephenson, Diane T.; Taylor, Duncan P.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004105699	A2	20041209	WO 2004-US16496	20040526
WO 2004105699	A3	20051215		

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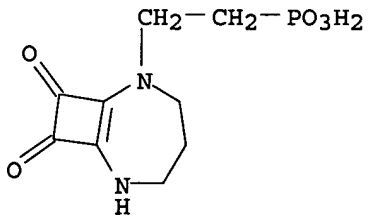
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-473820P P 20030528
OTHER SOURCE(S): MARPAT 142:32998

AB The present invention provides compns. and methods for the treatment of central nervous system damage in a subject. More particularly, the invention provides a combination therapy for the treatment of a central nervous system ischemic condition or a central nervous system traumatic injury comprising the administration to a subject of a cannabinoid agent in combination with a cyclooxygenase-2 selective inhibitor.

IT **144912-63-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. of a cyclooxygenase-2 selective inhibitor and a cannabinoid agent for treatment of central nervous system damage)

RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)

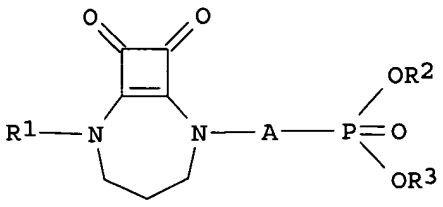


see 5817

L2 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:902398 CAPLUS
DOCUMENT NUMBER: 141:380023
TITLE: Preparation of derivatives of 2-(8,9-dioxo-2,6-diazabicyclo(5.2.0)non-1(7)-en-2-yl)alkylphosphonic acid and their use as n-methyl-d-aspartate (nmda) receptor antagonists
INVENTOR(S): Baudy, Reinhardt Bernhard; Butera, John Anthony
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092189	A1	20041028	WO 2004-US10596	20040407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2521313	AA	20041028	CA 2004-2521313	20040407
EP 1611144	A1	20060104	EP 2004-759168	20040407
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				

PRIORITY APPLN. INFO.: US 2003-461490P P 20030409
WO 2004-US10596 W 20040407
OTHER SOURCE(S): CASREACT 141:380023; MARPAT 141:380023
GI



I

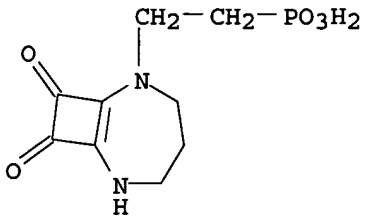
AB Preparation of title compds. I (at least one R2 or R3 is not hydrogen; R1 = H, C1-6 alkyl, C2-7 acyl, C1-6 alkanesulfonyl, C6-14 aroyl; R2, R3 = H, (un)substituted alkylcarboxyalkyl, alkoxycarboxyalkyl, aminocarboxyalkyl; A = C1-4 alkylene, C2-4 alkenylene) or pharmaceutically acceptable salts thereof are provided. The compds. of the present invention are N-methyl-D-aspartate (NMDA) receptor antagonists and are useful in

treating a variety of conditions present in a mammal that benefit from inhibiting the NMDA receptor. Thus, reaction of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid with benzoic acid chloromethyl ester in DMF in the presence of N,N-diisopropylethylamine gave 99% title compound, 3-{2-[8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl]ethyl}-3-oxido-7-oxo-7-phenyl-2,4,6-trioxa-3-phosphahept-1-yl benzoate.

IT **144912-63-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of derivs. of dioxodiazabicyclononenalkylphosphonic acid and their use as Me aspartate NMDA receptor antagonists)

RN 144912-63-0 CAPLUS

CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

applicant

see 6 of 17

L2 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:902198 CAPLUS
DOCUMENT NUMBER: 141:370576
TITLE: Intranasal pharmaceutical compositions containing [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)alkyl]phosphonic acid and its derivatives
INVENTOR(S): Benjamin, Eric Joel; Baudy, Reinhardt Bernhard; Brandt, Michael Richard
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091633	A1	20041028	WO 2004-US11668	20040407
WO 2004091633	C1	20050113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2521394	AA	20041028	CA 2004-2521394	20040407
EP 1622625	A1	20060208	EP 2004-759562	20040407
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				

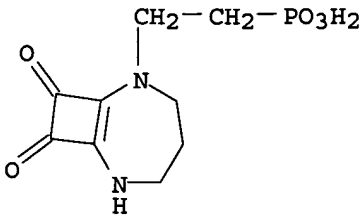
PRIORITY APPLN. INFO.: US 2003-461571P P 20030409
WO 2004-US11668 W 20040407

OTHER SOURCE(S): MARPAT 141:370576

AB Pharmaceutical compns. for intranasal administration contain the title compound or a salt thereof, and 1 or more additives for forming a composition for intranasal administration. Also provided are methods of treating conditions in a mammal associated with a glutamate abnormality that includes administering intranasally to a mammal a therapeutically effective amount of the above compds. Thus, a nasal solution contained [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid 30.0 and EDTA 0.10 g, 5N NaOH solution 37 and water 50 mL.

IT **144912-63-0**
RL: PKT (Pharmacokinetics); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(intranasal pharmaceutical compns. containing dioxo(diazabicyclononenyl)alkylphosphonic acid and its derivs.)

RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)

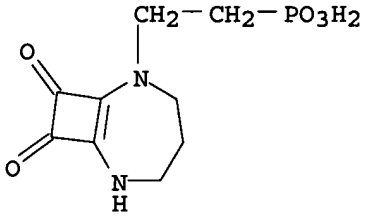


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:608723 CAPLUS
DOCUMENT NUMBER: 141:236333
TITLE: Characterization of two novel N-methyl-D-aspartate antagonists: EAA-090 (2-[8,9-dioxo-2,6-diazabicyclo [5.2.0]non-1(7)-en2-yl]ethylphosphonic acid) and EAB-318 (R-α-amino-5-chloro-1-(phosphonomethyl)-1H-benzimidazole-2-propanoic acid hydrochloride)
AUTHOR(S): Sun, Lucy; Chiu, Doreen; Kowal, Dinne; Simon, Rachelle; Smeyne, Michelle; Zukin, R. Suzanne; Olney, John; Baudy, Reinhardt; Lin, Stephen
CORPORATE SOURCE: Discovery Neuroscience, Wyeth Research, Princeton, NJ, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2004), 310(2), 563-570
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Two novel N-methyl-d-aspartate (NMDA) antagonists with unique chemical structures, EAA-090 (2-[8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en2-yl]ethylphosphonic acid) and EAB-318 (R-α-amino-5-chloro-1-(phosphonomethyl)-1H-benzimidazole-2-propanoic acid hydrochloride), were compared with CGS-19755 (Selfotel) in ligand binding, electrophysiol., and neuroprotection assays. CGS-19755, EAA-090 and EAB-318 inhibited [3H]3-(2-carboxypiperazin-4-yl)propyl-1-phosphonic acid binding to NMDA receptors with IC50 values of 55, 28, and 7.9 nM, resp. All three compds. decreased the duration of spontaneous synaptic currents and inhibited NMDA-activated currents in rat hippocampal neurons. IC50 values for inhibition of current induced by 10 μM NMDA were 795, 477, and 69 nM for CGS-19755, EAA-090, and EAB-318, resp. The NMDA antagonists protected chick embryo retina slices and cultured rat hippocampal and cortical neurons from glutamate- and NMDA-induced neurotoxicity. In expts. in which different NMDA receptor splice variants and subtypes were expressed in Xenopus oocytes, all three antagonists preferentially blocked NMDA-elicited currents mediated by N-methyl-d-aspartate receptor (NR)1 splice variants containing the N-terminal insertion. They also favored NR2A- vs. NR2B- or NR2C-containing NMDA receptors, with EAA-090 showing the greatest selectivity. EAA-090 was 10 times more potent at blocking NR2A- vs. NR2B- or NR2C-containing NMDA receptors. In addition to being the most potent NMDA antagonist, EAB-318 inhibited α-amino-3-hydroxy-5-methyl-4-isoxazole propionic acid (AMPA) and kainate receptors. The combination of NMDA and AMPA/kainate block enabled EAB-318 to protect neurons against ischemia induced cell death.

IT **144912-63-0**, EAA-090
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(two novel N-Me-D-aspartate antagonists, EAA-090 and EAB-318)
RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:353140 CAPLUS
DOCUMENT NUMBER: 140:380634
TITLE: Compositions of cyclooxygenase-2 selective inhibitors and NMDA receptor antagonists for the treatment or prevention of neuropathic pain
INVENTOR(S): Cheung, Raymond Y.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 51 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004082543	A1	20040429	US 2002-282660	20021029
WO 2004039371	A2	20040513	WO 2003-US33089	20031017
WO 2004039371	A3	20040617		

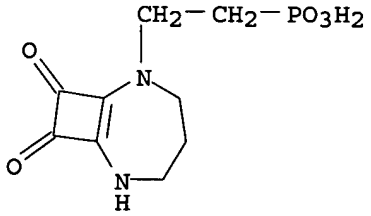
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-282660 A 20021029
OTHER SOURCE(S): MARPAT 140:380634

AB The present invention provides compns. and methods to treat or prevent neuropathic pain in a subject using a combination of a COX-2 selective inhibitor and a NMDA receptor antagonist.

IT **144912-63-0**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. of cyclooxygenase-2 selective inhibitors and NMDA receptor antagonists for treatment or prevention of neuropathic pain)

RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



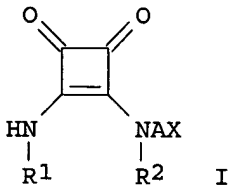
see 7 of 17

L2 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:301059 CAPLUS
DOCUMENT NUMBER: 138:314606
TITLE: [[2-(amino-3,4-dioxo-1-cyclobuten-1-yl)amino]alkyl]-acid derivatives for the treatment of pain
INVENTOR(S): Brandt, Michael Richard; Zaleska, Margaret Maria; Moyer, John Allen
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031416	A2	20030417	WO 2002-US32252	20021009
WO 2003031416	A3	20030814		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2461348	AA	20030417	CA 2002-2461348	20021009
US 2003114444	A1	20030619	US 2002-267159	20021009
EP 1434588	A2	20040707	EP 2002-789180	20021009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013237	A	20040928	BR 2002-13237	20021009
JP 2005508950	T2	20050407	JP 2003-534400	20021009
NO 2004001378	A	20040528	NO 2004-1378	20040402

PRIORITY APPLN. INFO.:	US 2001-328245P	P	20011010
	WO 2002-US32252	W	20021009

OTHER SOURCE(S): MARPAT 138:314606
GI



I

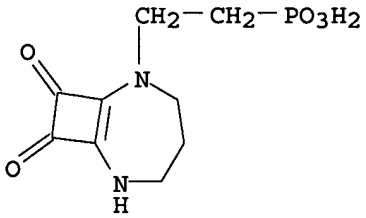
AB The invention provides a method for treating pain in a mammal that includes administering I [R1 = H, C1-6 alkyl, C7-12 phenylalkyl; R2 = H, C1-6 alkyl, C2-6 alkenyl, C7-12 phenylalkyl; or R1 and R2 taken together as Z are CH2CH2, CH2C(R6)(R7)CH2, CH2C(R8)(R9)C(R10)(R11)CH2; R6, R8, R10 = H, C1-6 alkyl, OH; R7, R9, R11 = H, C1-6 alkyl; A = C1-6 alkylene, C2-6

alkenylene; X = CO2R3, P(O)(OR4)(OR5), 3,5-dioxo-1,2,4-oxadiazolidin-2-yl, 5-tetrazolyl; R3, R4, R5 = H, C1-6 alkyl], or a pharmaceutically acceptable salt thereof. Also provided are compns. for treating pain containing I.

IT **144912-63-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aminodioxocyclobutenyl derivs. for treatment of pain)

RN 144912-63-0 CAPLUS

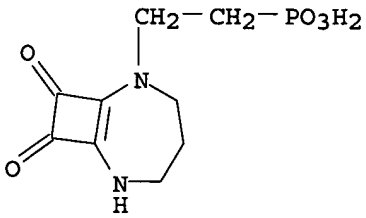
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



L2 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:863891 CAPLUS
DOCUMENT NUMBER: 139:16866
TITLE: EAA-090: Neuroprotectant competitive NMDA antagonist
AUTHOR(S): Childers, Wayne E., Jr.; Abou-Gharbia, Magid A.; Moyer, John A.; Zaleska, Margaret M.
CORPORATE SOURCE: Chemical Sciences, Wyeth Research, Princeton, NJ, 08543-8000, USA
SOURCE: Drugs of the Future (2002), 27(7), 633-638
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. EAA-090 is a novel squaric acid amide derivative that has been identified as a potential treatment for the ischemic brain damage resulting from stroke. EAA-090 is a competitive inhibitor at the NMDA-selective subtype of the glutamate receptor. The compound demonstrates potent inhibitory activity in both in vitro and in vivo models of NMDA-induced excitotoxicity and provides neuroprotective efficacy in several animal models of stroke. EAA-090 is unique among competitive NMDA antagonists in displaying a clear separation between predicted efficacious dose and doses that induce PCP-like psychotomimetic side effects in both animals and humans. This unique profile makes EAA-090 an exciting candidate for assessing the neuroprotective potential of the competitive NMDA mechanism.

IT **144912-63-0**, EAA 090
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Way 126090; neuroprotectant NMDA antagonist EAA-090 for ischemic brain damage resulting from stroke)
RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



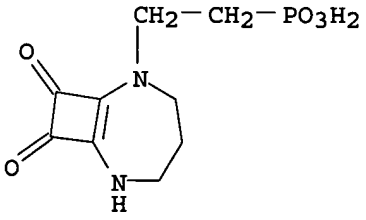
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:260977 CAPLUS
DOCUMENT NUMBER: 135:61386
TITLE: Design, Synthesis, SAR, and Biological Evaluation of Highly Potent Benzimidazole-Spaced Phosphono-α-Amino Acid Competitive NMDA Antagonists of the AP-6 Type
AUTHOR(S): Baudy, Reinhardt B.; Fletcher, Horace, III; Yardley, John P.; Zaleska, Margaret M.; Bramlett, Donna R.; Tasse, Rene P.; Kowal, Dianne M.; Katz, Alan H.; Moyer, John A.; Abou-Gharbia, Magid
CORPORATE SOURCE: Chemical Sciences and Division of Neuroscience, Wyeth-Ayerst Research, Princeton, NJ, 08543-8000, USA
SOURCE: Journal of Medicinal Chemistry (2001), 44(10), 1516-1529
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:61386

AB 2-Amino-(phosphonoalkyl)-1H-benzimidazole-2-alkanoic acids were synthesized and evaluated for NMDA receptor affinity using a [3H]CPP binding assay. Functional antagonism of the NMDA receptor complex was evaluated in vitro using a stimulated [3H]TCP binding assay and in vivo by employing an NMDA-induced seizure model. Several compds. of the AP-6 type demonstrated potent and selective NMDA antagonistic activity both in vitro and in vivo. In particular, [R(-)]-2-amino-3-(5-chloro-1-phosphonomethyl-1H-benzoimidazol-2-yl)propionic acid (1) displayed an IC50 value of 7.1 nM in the [3H]CPP binding assay and an ED50 value of 0.13 mg/kg (i.p.) in the NMDA lethality model. Compound 1, when administered i.v. as a single bolus dose of 3 mg/kg following permanent occlusion of the middle cerebral artery in the rat, reduced the volume of infarcted brain tissue by 45%. These results support a promising therapeutic potential for compound 1 as a neuroprotective agent.

IT **144912-63-0**, EAA 090
RL: PRP (Properties)
(comparison of conformation of benzimidazole-spaced phosphono-α-amino acid competitive NMDA antagonist to that of)

RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:748347 CAPLUS
DOCUMENT NUMBER: 131:337040
TITLE: Preparation of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid
INVENTOR(S): Asselin, Andre A.; Kinney, William A.; Schmid, Jean
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

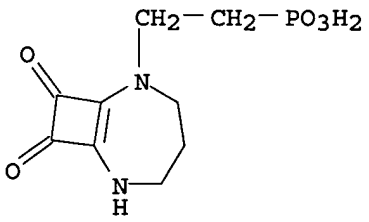
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5990307	A	19991123	US 1998-127202	19980731
US 6011168	A	20000104	US 1999-375345	19990816
PRIORITY APPLN. INFO.:			US 1997-54553P	P 19970801
			US 1998-127202	A3 19980731

OTHER SOURCE(S): CASREACT 131:337040

AB [2-(8,9-Dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid (I) was prepared by reaction of Me3CO2CNH(CH2)3NH2 with a dialkyl vinylphosphonate to obtain N-[3-(t-butyloxycarbonylamino)propyl]-2-aminoethylphosphonic acid dialkyl ester in 80% yield. Reaction of the latter with a 3,4-dialkoxycyclobut-3-en-1,2-dione gave [3-[[2-(dialkoxyphosphoryl)ethyl]-(2-alkoxy-3,4-dioxo-1,2-cyclobuten-1-yl)amino]propyl]carbamic acid 1,1-dimethylethyl ester in 96% yield. Deprotection and cyclization of this in CF3CO2H gave [2-((8,9)-dioxo-2,6-diazabicyclo[5.2.0]-non-1(7)-en-2-yl)ethyl]phosphonic acid dialkyl ester in 58% yield; treatment with BrSiMe3 gave I in 38.8% overall yield.

IT **144912-63-0P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid)

RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SEE 9017

L2 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:113692 CAPLUS
DOCUMENT NUMBER: 130:153793
TITLE: Preparation of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid
INVENTOR(S): Asselin, Andre Alfred; Kinney, William Alvin; Schmid, Jean
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

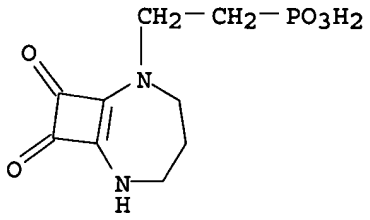
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906417	A1	19990211	WO 1998-US15841	19980731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2297411	AA	19990211	CA 1998-2297411	19980731
AU 9886037	A1	19990222	AU 1998-86037	19980731
AU 746119	B2	20020418		
EP 1000072	A1	20000517	EP 1998-937292	19980731
EP 1000072	B1	20030219		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9811807	A	20000815	BR 1998-11807	19980731
JP 2001512129	T2	20010821	JP 2000-505174	19980731
NZ 502509	A	20020828	NZ 1998-502509	19980731
AT 232875	E	20030315	AT 1998-937292	19980731
RU 2205834	C2	20030610	RU 2000-105273	19980731
ES 2190091	T3	20030716	ES 1998-937292	19980731
CN 1526714	A	20040908	CN 2003-10120120	19980731
NO 2000000488	A	20000131	NO 2000-488	20000131
HK 1027814	A1	20030516	HK 2000-107097	20001108

PRIORITY APPLN. INFO.: US 1997-905091 A 19970801
WO 1998-US15841 W 19980731

AB The title compound (I), an NMDA antagonist, was useful as an anticonvulsant and neuroprotectant in situations involving excess release of excitatory amino acids. 3-Aminopropylcarbamic acid 1,1-dimethyl-Et ester was treated with a dialkyl vinylphosphonate (alkyl = Me, Et) to obtain N-[3-(tert-butyloxycarbonylamino)propyl]-2-aminoethylphosphonic acid dialkyl ester (II) in 80% yield. Reaction of II with 3,4-diethoxycyclobut-3-ene-1,2-dione gave [3-[[2-(dialkoxyphosphoryl)ethyl]-(2-alkoxy-3,4-dioxo-1,2-cyclobuten-1-yl)amino]propyl]carbamic acid 1,1-dimethylethyl ester (III) in 96% yield. Deprotection and cyclization of III in HO2CCF3 gives [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid dialkyl ester (IV) in 58% yield. Compound IV was treated with bromotrimethylsilane to give I.

IT **144912-63-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)

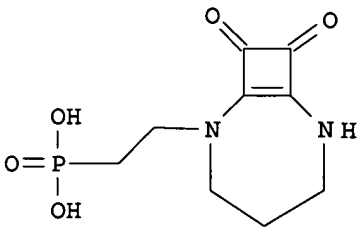


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

see 10917

L2 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:9157 CAPLUS
DOCUMENT NUMBER: 128:75452
TITLE: Design and Synthesis of [2-(8,9-Dioxo-2,6-diazabicyclo[5.2.0]non1(7)-en-2-yl)ethyl]phosphonic Acid (EAA-090), a Potent N-Methyl-D-aspartate Antagonist, via the Use of 3-Cyclobutene-1,2-dione as an Achiral α-Amino Acid Bioisostere
AUTHOR(S): Kinney, William A.; Abou-Gharbia, Magid; Garrison, Deanna T.; Schmid, Jean; Kowal, Dianne M.; Bramlett, Donna R.; Miller, Tracy L.; Tasse, Rene P.; Zaleska, Margaret M.; Moyer, John A.
CORPORATE SOURCE: Chemical Sciences CNS Disorders Divisions, Wyeth-Ayerst Research, Princeton, NJ, 08543-8000, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(2), 236-246
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:75452
GI



I

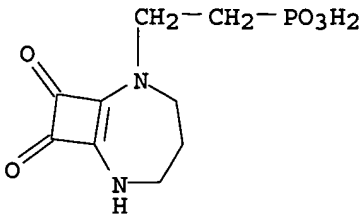
AB The diazabicyclic amino acid phosphonate I, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid, was identified as a potent NMDA antagonist. It contains the α-amino acid bioisostere 3,4-diamino-3-cyclobutene-1,2-dione and an addnl. ring for conformational rigidity. I was as potent as CGS-19755 in the [3H]CPP binding assay, the stimulated [3H]TCP binding assay, and the NMDA-induced lethality model in mice. A single bolus dose of I, administered i.v. following permanent occlusion of middle cerebral artery (MCA) in the rat, reduced the size of infarcted tissue by 57%. Structure-activity relationship (SAR) studies have indicated that the six- and eight-membered ring derivs. had diminished activity and that the two-carbon side chain length was optimum for NMDA receptor affinity. Substitution on the ring was counterproductive in the case of sterically demanding di-Me groups and of no consequence in the case of an H-bonding hydroxyl group. Replacement of the phosphonic acid group by either a carboxylic acid or a tetrazole group was unproductive. The potent bicyclic NMDA antagonists were synthesized efficiently by virtue of their achiral nature and the ease of vinylogous amide formation from squaric acid esters. I, being a unique NMDA antagonist structural type with a favorable preclin. profile, may offer advantages over existing NMDA antagonists for the treatment of neurol. disorders such as stroke and head trauma. I is currently under clin. evaluation as a neuroprotective agent for stroke.

IT **144912-63-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(design and synthesis of [(dioxodiazabicyclononenyl)ethyl]phosphonic acid as a potent NMDA antagonist via use of cyclobutenedione as achiral amino acid bioisostere)

RN 144912-63-0 CAPLUS

CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:480831 CAPLUS
DOCUMENT NUMBER: 127:90514
TITLE: Rapamycin-derived neuroprotective agents
INVENTOR(S): Lin, Stephen Shi-Hsun; Molnar-Kimber, Katherine Lu
PATENT ASSIGNEE(S): American Home Products Corporation, USA; Wyeth
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

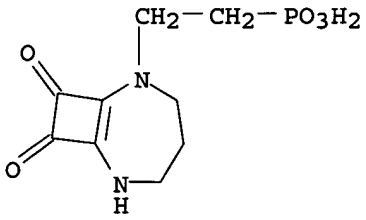
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 778023	A1	19970611	EP 1996-308786	19961204
EP 778023	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
TW 427904	B	20010401	TW 1996-85114700	19961128
AT 234095	E	20030315	AT 1996-308786	19961204
ES 2188730	T3	20030701	ES 1996-308786	19961204
AU 9674178	A1	19970612	AU 1996-74178	19961205
AU 700653	B2	19990114		
ZA 9610245	A	19980605	ZA 1996-10245	19961205
NZ 299888	A	20010223	NZ 1996-299888	19961205
CA 2192298	AA	19970608	CA 1996-2192298	19961206
NO 9605238	A	19970609	NO 1996-5238	19961206
NO 309966	B1	20010430		
JP 09183727	A2	19970715	JP 1996-326582	19961206
CN 1159915	A	19970924	CN 1996-123098	19961206
CN 1112925	B	20030702		
BR 9605895	A	19980818	BR 1996-5895	19961206
IL 119778	A1	19990714	IL 1996-119778	19961206
HK 1009938	A1	20030627	HK 1998-110870	19980923

PRIORITY APPLN. INFO.: US 1995-8337P P 19951207

AB Rapamycin, rapamycin 1,3-Diels-Alder adducts with phenyltriazolinedione or methyltriazolinedione, rapamycin 42-ester with 4-[[4-(dimethylamino)phenyl]azo]benzenesulfonic acid, and rapamycin O-benzyl-27-oxime are useful as neuroprotective agents in treatment of stroke, head trauma, or neurodegenerative disorders such as Alzheimer's disease, amyotrophic lateral sclerosis, epilepsy, Huntington's disease, and parkinsonism. These compds. may be used in combination with NMDA or AMPA antagonists. Thus, rapamycin (200 nM) protected rat hippocampal and cortical cells against glutamate (30 μM) toxicity.

IT **144912-63-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(enhancement of action of; rapamycin-derived neuroprotective agents)

RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)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N
N
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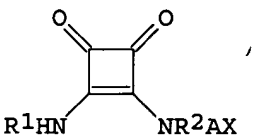
L2 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:39407 CAPLUS
DOCUMENT NUMBER: 118:39407
TITLE: Preparation of [[(2-amino-3,4-dioxo-1-cyclobuten-1-yl)amino]alkyl]carboxylic acid derivatives as N-methyl-D-aspartate (NMDA) antagonists
INVENTOR(S): Kinney, William Alvin; Garrison, Deanna Colette
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 496561	A2	19920729	EP 1992-300472	19920120
EP 496561	A3	19921223		
EP 496561	B1	19950315		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
US 5168103	A	19921201	US 1991-806861	19911217
IL 100679	A1	19961031	IL 1992-100679	19920116
AU 9210301	A1	19920730	AU 1992-10301	19920117
AU 639629	B2	19930729		
ZA 9200358	A	19930719	ZA 1992-358	19920117
SK 280268	B6	19991008	SK 1992-144	19920117
CZ 286407	B6	20000412	CZ 1992-144	19920117
CA 2059704	AA	19920723	CA 1992-2059704	19920120
CA 2059704	C	20020716		
JP 04321654	A2	19921111	JP 1992-7385	19920120
JP 3167770	B2	20010521		
AT 119873	E	19950415	AT 1992-300472	19920120
ES 2071428	T3	19950616	ES 1992-300472	19920120
RU 2039035	C1	19950709	RU 1992-5010645	19920120
FI 9200261	A	19920823	FI 1992-261	19920121
FI 105551	B1	20000915		
HU 61970	A2	19930329	HU 1992-192	19920121
HU 215838	B	20000628		
KR 206055	B1	19990701	KR 1992-780	19920121
US 5240946	A	19930831	US 1992-875925	19920429

PRIORITY APPLN. INFO.: US 1991-644157 A 19910122
US 1991-806861 A 19911217
CS 1992-144 A 19920117

OTHER SOURCE(S): MARPAT 118:39407
GI



I

AB Title compds. [I; R1 = H, (phenyl)alkyl; R2 = R1, alkenyl; or R1R2 = CH2CH2, CH2CR6R7CH2, CH2CR8R9CR10R11CH2; R6, R8, R10 = H, alkyl, OH; R7,

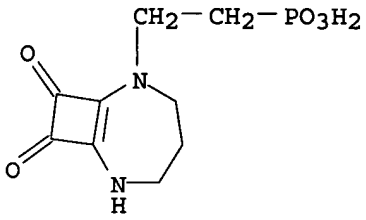
R9, R11 = H, alkyl; A = alkylene, alkenylene; X = CO2R3, P(O)(OR4)OR5, 3,5-dioxo-1,2,4-oxazolidin-2-yl, 5-tetrazolyl; R3, R4, R5 = H, alkyl], were prepared Thus, H2NCH2CH(OH)CH2NH2 was treated with O(CO2CMe3)2 in MeCN to give H2NCH2CH(OH)CH2NHCO2CMe3. The latter was condensed with BrCH2CH2P(O)(OEt)2 using Na2CO3 in EtOH to give (EtO)2P(O)CH2CH2NHCH2CH(OH)CH2NHCO2CMe3. This was condensed with 3,4-diethoxy-3-cyclobutene-1,2-dione in EtOH to give 3-[N-[2-(diethoxyphosphinyl)ethyl]-N-(2-ethoxy-3,4-dioxo-1-cyclobuten-1-yl)amino]-2-hydroxypropyl]carbamic acid 1,1-dimethylethyl ester. This was stirred with HCO2H and the residue was refluxed with EtN(CHMe2)2 in EtOH to give [2-(4-hydroxy-8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid di-Et ester. This was refluxed with Me3SiBr in ClCH2CH2Cl to give [2-(4-hydroxy-8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid. The latter inhibited N-methyl-D-aspartate-induced lethality in mice with ED50 = 1.8 ng/kg.

IT **144912-63-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as NMDA antagonist)

RN 144912-63-0 CAPLUS

CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



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L3           39 S L1 SSS FUL

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L6            1 S 144912-63-0/RN
L7           38 S L3 NOT L6

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L9           18 S L6
L10          10 S L8 AND L9
L11          17 S L8 OR L10

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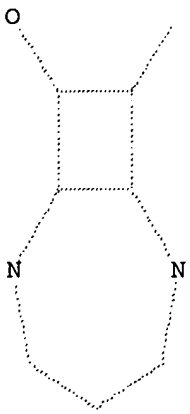


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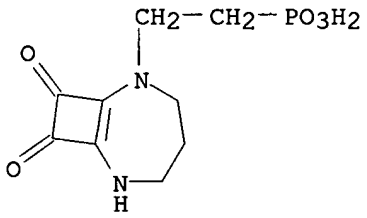
L6 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN **144912-63-0** REGISTRY
ED Entered STN: 15 Dec 1992
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2,6-Diazabicyclo[5.2.0]nonane, phosphonic acid deriv.
OTHER NAMES:
CN EAA 090
CN Perzinfotel
CN Way 126090
FS 3D CONCORD
MF C9 H13 N2 O5 P
CI COM
SR CA
LC STN Files: ADISINSIGHT, BIOSIS, CA, CAPLUS, CASREACT, IMSDRUGNEWS, IMSRESEARCH, IPA, PHAR, PROMT, PROUSDDR, SYNTHLINE, TOXCENTER, USAN, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

18 REFERENCES IN FILE CA (1907 TO DATE)
18 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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L11 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1003147 CAPLUS
DOCUMENT NUMBER: 143:286635
TITLE: Preparation of 3',5'-N,N'-(3,4-dioxocyclobutene-1,2-diyl)-3',5'-diamino-3',5'-dideoxynucleoside derivative as cyclic nucleotide analog
INVENTOR(S): Sekine, Mitsuo; Seio, Yasushi; Miyashita, Takuhei
PATENT ASSIGNEE(S): Japan Science and Technology Agency, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005247772	A2	20050915	JP 2004-61638	20040305
PRIORITY APPLN. INFO.:			JP 2004-61638	20040305

OTHER SOURCE(S): CASREACT 143:286635; MARPAT 143:286635
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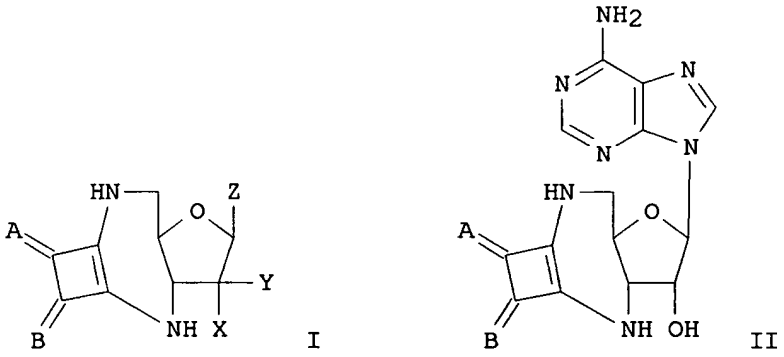


I II

AB A 3',5'-diamino-3',5'-dideoxy-nucleoside derivative having squaric acid diamide skeleton (I) [A, B = O, S; one of X and Y = H and the other = H, halo, OH, NH2, alkylamino, dialkylamino, alkoxy, alkoxyalkyl, aryloxyalkyl, arylthio, alkylthio, cyano, acylamino; Z = (un)substituted purin or pyrimidine base] is prepared This compound is relatively stable in vivo and exhibits resistance against degrading enzymes and is useful as an inhibitor or activator of intracellular or extracellular signal transduction (no data). Thus, 48 mg 3',5'-diamino-3',5'-dideoxyadenosine was dissolved in 1.5 mL MeOH, treated with 12.8 μL N,N-diisopropylethylamine and 17.1 mg 1,2-dimethoxy-3,4-dioxocyclobutene, and stirred at room temperature for 23 h to give, after purification by C-18 chromatog., 10 mg 3',5'-N,N'-(3,4-dioxocyclobutene-1,2-diyl)--3',5'-diamino-3',5'-dideoxyadenosine (II).

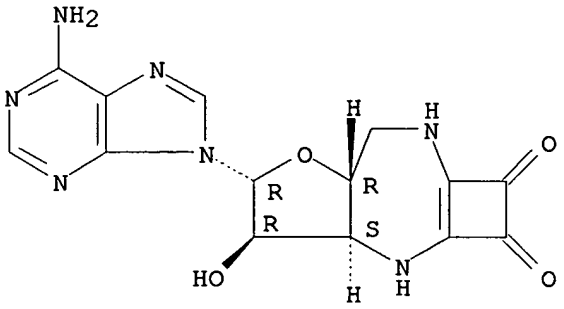
IT **864248-74-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3',5'-N,N'-(3,4-dioxocyclobutene-1,2-diyl)-3',5'-diamino-3',5'-dideoxy-nucleoside derivative as cyclic nucleotide analog and cellular signal transduction activator or inhibitor)

RN 864248-74-8 CAPLUS

CN 2H-Cyclobuta[b]furo[3,2-e][1,4]diazepine-5,6-dione, 2-(6-amino-9H-purin-9-yl)-3,3a,4,7,8,8a-hexahydro-3-hydroxy-, (2R,3R,3aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



applicant

L11 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:572349 CAPLUS
DOCUMENT NUMBER: 143:103227
TITLE: Oral administration of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)alkyl] phosphonic acid and derivatives
INVENTOR(S): Benjamin, Eric J.; Cloud, William F.; Ashraf, Muhammad; Islam, Mohammed; Brandt, Michael R.; Tremblay, Gerald F.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 32 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

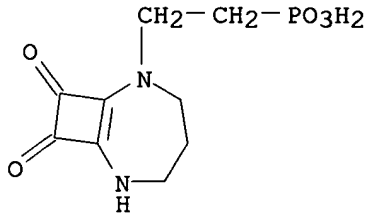
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005142192	A1	20050630	US 2004-961871		20041008
US 2005004079	A1	20050106	US 2004-820215		20040407
US 2005004080	A1	20050106	US 2004-820216		20040407
PRIORITY APPLN. INFO.:			US 2003-511560P	P	20031015
			US 2004-820215	A	20040407
			US 2004-820216	A	20040407
			US 2003-461490P	P	20030409
			US 2003-461571P	P	20030409

OTHER SOURCE(S): MARPAT 143:103227

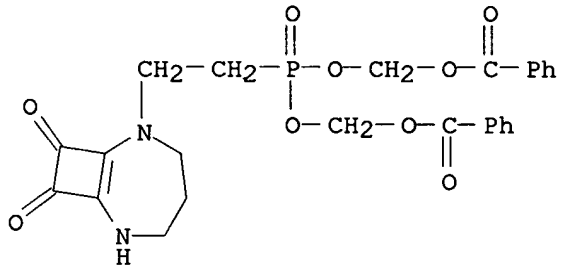
AB Solid, pharmaceutical dosage forms of [2-(8,9-dioxo-2,6-diazabicyclo [5.2.0]non-1(7)-en-2-yl)alkyl]phosphonic acid and derivs. thereof are disclosed. In addition, methods of use are disclosed for the treatment, inter alia, of cerebral vascular disorders, anxiety disorders; mood disorders; schizophrenia; schizophreniform disorder; schizoaffective disorder; cognitive impairment; chronic neurodegenerative disorders; inflammatory diseases; fibromyalgia; complications from herpes zoster; prevention of tolerance to opiate analgesia; withdrawal symptoms from addictive drugs; and pain. For example, enteric coated tablets contained [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl] phosphonic acid 200, croscarmellose sodium 7.05, Povidone 3.53, Avicel PH101 14.1, croscarmellose sodium 4.7, sodium lauryl sulfate 5.88 and magnesium stearate 1.18 mg.

IT **144912-63-0 780765-59-5 780765-64-2 780765-66-4 780765-67-5 782452-07-7 782452-08-8 782452-09-9 782452-10-2 782452-11-3**
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral administration of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)alkyl] phosphonic acid and derivs. for the treatment of mental disorders and inflammatory diseases and pain relief)

RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)

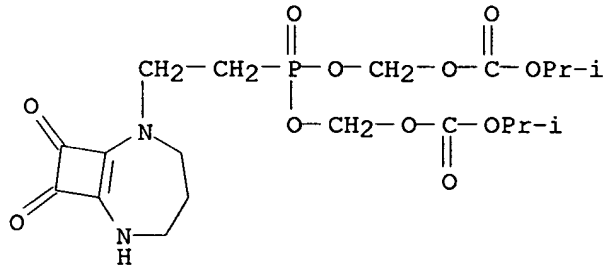


RN 780765-59-5 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, bis[(benzoyloxy)methyl] ester (9CI) (CA INDEX NAME)

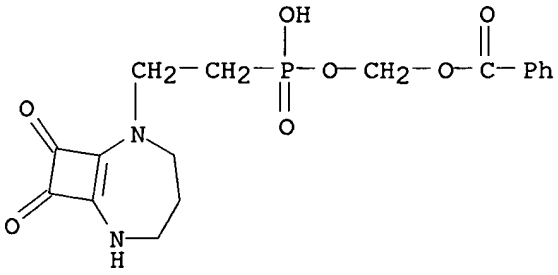


a)

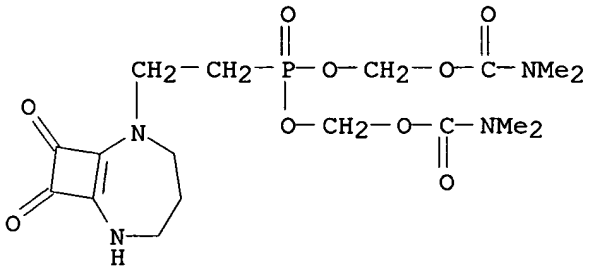
RN 780765-64-2 CAPLUS
CN 2,4,6,8-Tetraoxa-5-phosphanonanedioic acid, 5-[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, bis(1-methylethyl) ester, 5-oxide (9CI) (CA INDEX NAME)



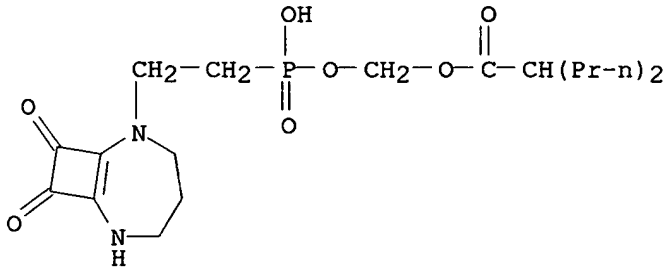
RN 780765-66-4 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, mono[(benzoyloxy)methyl] ester (9CI) (CA INDEX NAME)



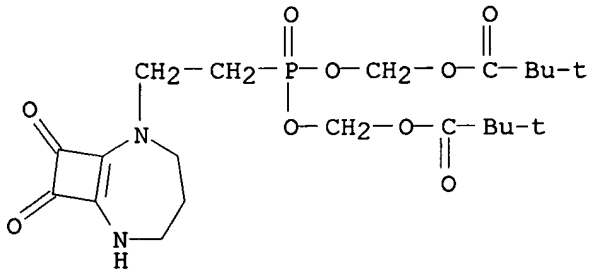
RN 780765-67-5 CAPLUS
CN Carbamic acid, dimethyl-, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphinylidene]bis(oxymethylene) ester (9CI) (CA INDEX NAME)



RN 782452-07-7 CAPLUS
CN Pentanoic acid, 2-propyl-, [[[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]hydroxyphosphinyl]oxy]methyl ester (9CI) (CA INDEX NAME)

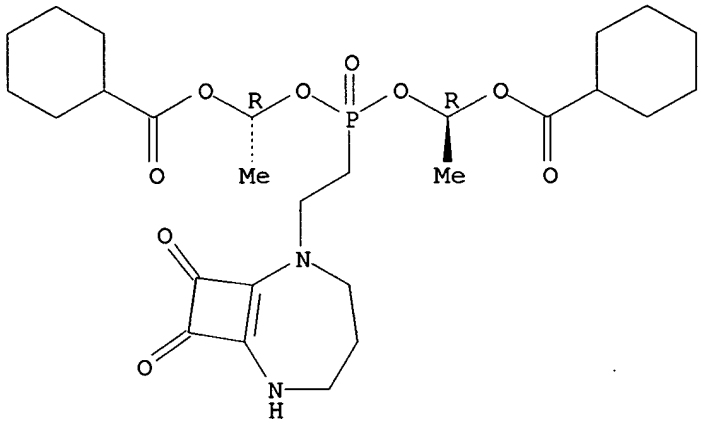


RN 782452-08-8 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphinylidene]bis(oxymethylene) ester (9CI) (CA INDEX NAME)



RN 782452-09-9 CAPLUS
CN Cyclohexanecarboxylic acid, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphinylidene]bis[oxy-(1R)-ethylidene] ester (9CI) (CA INDEX NAME)

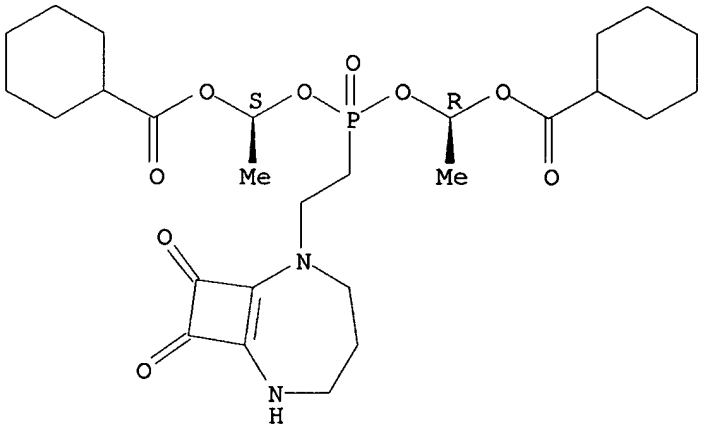
Relative stereochemistry.



d

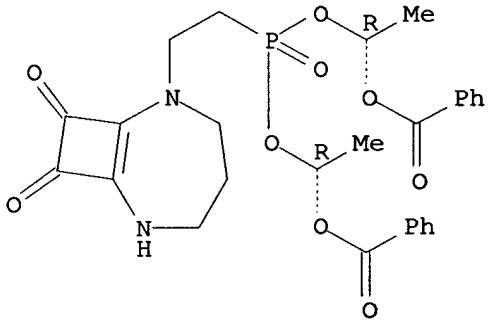
RN 782452-10-2 CAPLUS
CN Cyclohexanecarboxylic acid, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphinylidene]bis(oxyethylidene) ester, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 782452-11-3 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, bis[(1R)-1-(benzoyloxy)ethyl] ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L11 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:371071 CAPLUS
DOCUMENT NUMBER: 142:417206
TITLE: Oral administration of NMDA receptor antagonists
INVENTOR(S): Benjamin, Eric J.; Cloud, William F.; Ashraf, Muhammad; Islam, Mohammed; Brandt, Michael R.; Tremblay, Gerald F.
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037287	A1	20050428	WO 2004-US34113	20041014
WO 2005037287	C1	20050630		

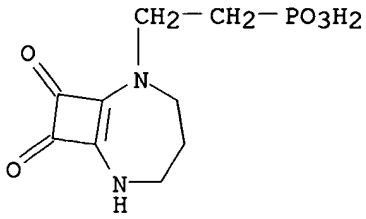
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-511560P P 20031015
OTHER SOURCE(S): MARPAT 142:417206

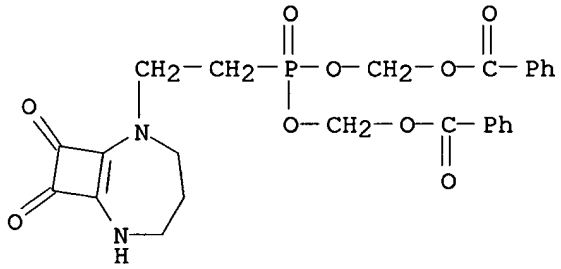
AB Solid, oral pharmaceutical dosage forms of [2-(8,9-dioxo-2,6-diazabicyclo [5.2.0]non-1(7)-en-2-yl)alkyl]phosphonic acid and its derivs. (salts) as NMDA receptor antagonists are disclosed. In addition, methods of use are disclosed for the treatment, inter alia, of cerebral vascular disorders, anxiety disorders; mood disorders; schizophrenia; schizophreniform disorder; schizoaffective disorder; cognitive impairment; chronic neurodegenerative disorders; inflammatory diseases; fibromyalgia; complications from herpes zoster; prevention of tolerance to opiate analgesia; withdrawal symptoms from addictive drugs; and pain. For example, a capsule formulation was prepared by wet granulation comprising (i) an intragranular phase containing [2-[8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl]ethyl]phosphonic acid 100 mg, Avicel PH 101 13.91 mg, povidone 3.61 mg, and croscarmellose sodium 5.77 mg, and (ii) an extragranular phase containing Avicel PH 101 14.42 mg, croscarmellose sodium 5.77 mg, and magnesium stearate 1.44 mg.

IT **144912-63-0**
RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation, bioavailability and therapeutic uses of oral compns. containing phosphonate derivs. as NMDA antagonists)

RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)

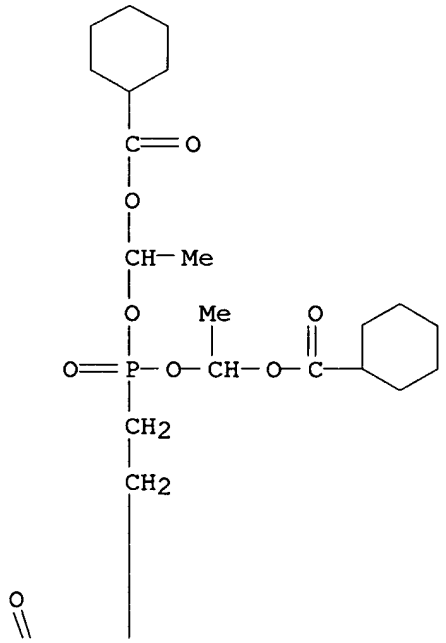


IT **780765-59-5 780765-62-0 780765-63-1**
780765-64-2 780765-66-4 780765-67-5
780765-68-6 782452-08-8 850148-47-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation, bioavailability and therapeutic uses of oral compns. containing
phosphonate derivs. as NMDA antagonists)
RN 780765-59-5 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, bis[(benzoyloxy)methyl] ester (9CI) (CA INDEX NAME)

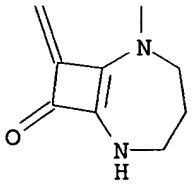


RN 780765-62-0 CAPLUS
CN Cyclohexanecarboxylic acid, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-6-yl)ethyl]phosphinylidene]bis(oxyethylidene) ester (9CI) (CA INDEX NAME)

PAGE 1-A

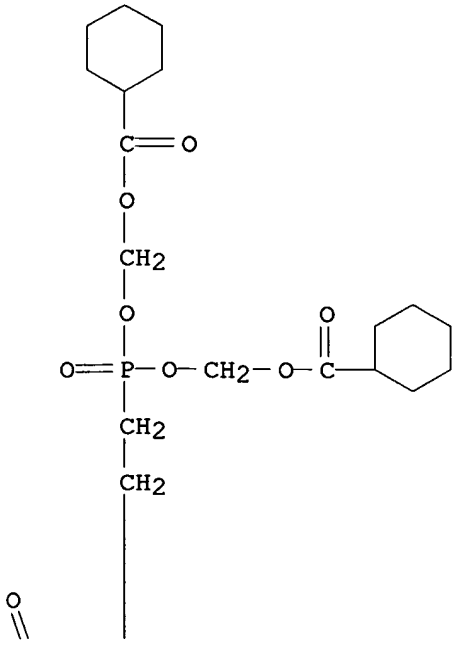


PAGE 2-A

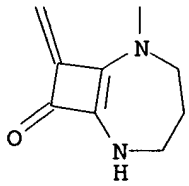


RN 780765-63-1 CAPLUS
CN Cyclohexanecarboxylic acid, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-6-yl)ethyl]phosphinylidene]bis(oxymethylene) ester (9CI) (CA INDEX NAME)

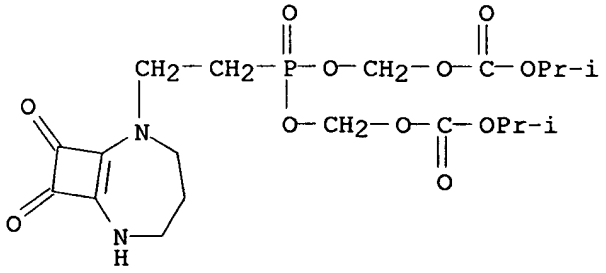
PAGE 1-A



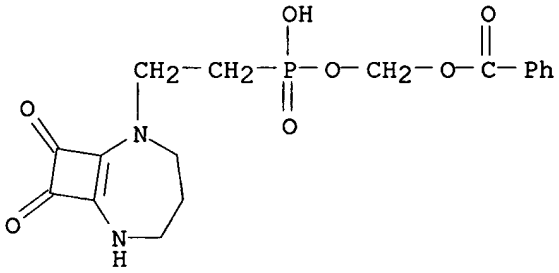
PAGE 2-A



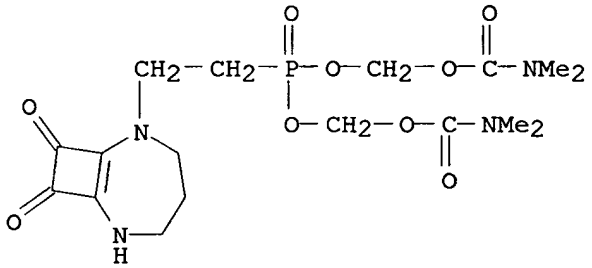
RN 780765-64-2 CAPLUS
CN 2,4,6,8-Tetraoxa-5-phosphanonanedioic acid, 5-[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, bis(1-methylethyl) ester, 5-oxide (9CI) (CA INDEX NAME)



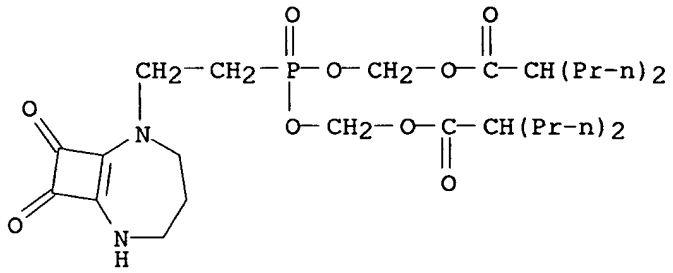
RN 780765-66-4 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, mono[(benzoyloxy)methyl] ester (9CI) (CA INDEX NAME)



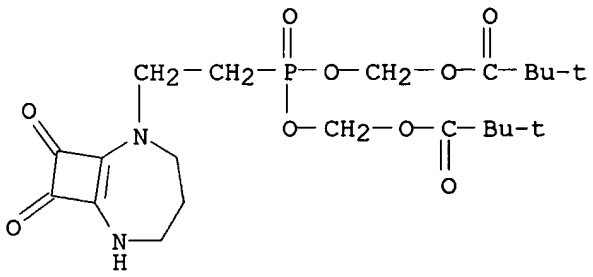
RN 780765-67-5 CAPLUS
CN Carbamic acid, dimethyl-, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphinylidene]bis(oxymethylene) ester (9CI) (CA INDEX NAME)



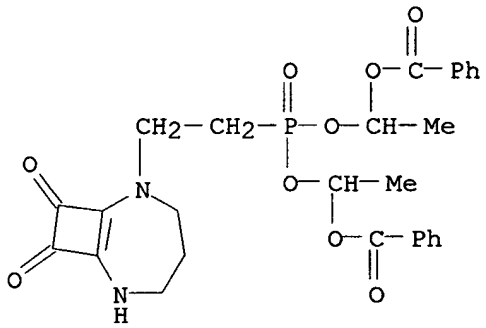
RN 780765-68-6 CAPLUS
CN Pentanoic acid, 2-propyl-, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphinylidene]bis(oxymethylene) ester (9CI) (CA INDEX NAME)



RN 782452-08-8 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphinylidene]bis(oxymethylene) ester (9CI) (CA INDEX NAME)



RN 850148-47-9 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, bis[1-(benzoyloxy)ethyl] ester (9CI) (CA INDEX NAME)



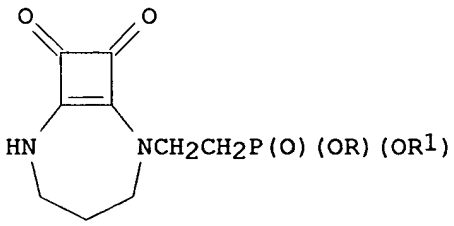
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:371019 CAPLUS
DOCUMENT NUMBER: 142:411486
TITLE: Preparation of {2-[8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl]ethyl}phosphonate esters by cyclocondensation reaction of squaric acid derivatives with (aminopropyl)aminoethanephosphonate esters and subsequent hydrolysis to free acid
INVENTOR(S): Wilk, Bogdan K.; Vid, Galina; Liu, Weiguo; Shi, Xinxu
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 10 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005090470	A1	20050428	US 2004-969715	20041020
WO 2005040176	A2	20050506	WO 2004-US34831	20041020
WO 2005040176	A3	20051201		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-513611P P 20031022
OTHER SOURCE(S): CASREACT 142:411486; MARPAT 142:411486
GI



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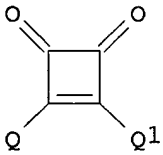
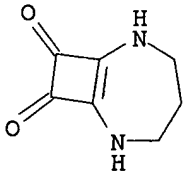


III

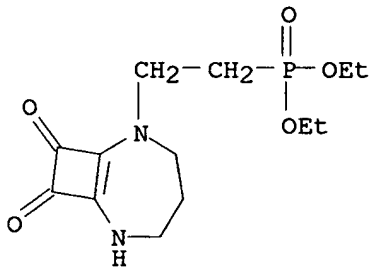
AB {2-[8,9-Dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl]ethyl}phosphonic acid (I; R = R1 = H), useful as an NMDA antagonist appropriate for treatment of stroke, epilepsy, Alzheimer's and Parkinson's diseases and pain (no data), is prepared by hydrolysis of its esters I (R, R1 = C1-6 alkyl, C1-6 haloalkyl; preferably R = R1 = Et), which in turn are prepared by reaction of a 1,3-diaminopropane derivative H2N(CH2)3NHCH2CH2P(O)(OR)(OR1) (II; same R, R1) with a cyclobutenedione (III; Q, Q1 = OH, halo, OX1;

preferably Q, Q1 = OEt or OH; X1 = C1-6 alkyl, C1-6 haloalkyl, aryl) in a solvent HOX1 (same X1; preferably HOX1 = MeOH or EtOH); compds. II are prepared by reaction of 1,3-diaminopropane with XCH2CH2P(O)(OR)(OR1) or CH2:CHP(O)(OR)(OR1) (same R, R1; X = leaving group, preferably halo) at a ratio of ≥2:1. In an example, treating 1.04 g di-Et squarate III (Q = Q1 = OEt) in 250 mL MeOH with 1.46 g II (R = R1 = Et; preparation given.) in 50 mL MeOH at 60° for 6 h and subsequent stirring overnight at room temperature gave 54% title ester I (R = R1 = Et).

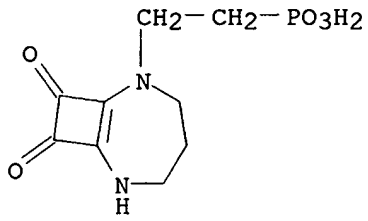
IT **66086-41-7P**
RL: BYP (Byproduct); SPN (Synthetic preparation); PREP (Preparation)
(preparation of [[dioxodiazabicyclo[5.2.0]nonenyl]ethyl]phosphonates by cyclocondensation reaction of squaric acid derivs. with diaminopropane phosphonate derivs. and hydrolysis to give free acid)
RN 66086-41-7 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-8,9-dione (9CI) (CA INDEX NAME)



IT **144912-83-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [[dioxodiazabicyclo[5.2.0]nonenyl]ethyl]phosphonates by cyclocondensation reaction of squaric acid derivs. with diaminopropane phosphonate derivs. and hydrolysis to give free acid)
RN 144912-83-4 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, diethyl ester (9CI) (CA INDEX NAME)



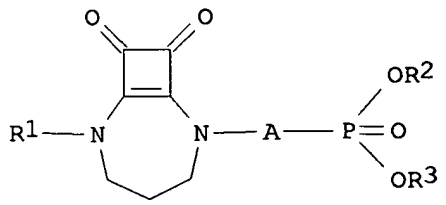
IT **144912-63-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of [[dioxodiazabicyclo[5.2.0]nonenyl]ethyl]phosphonates by cyclocondensation reaction of squaric acid derivs. with diaminopropane phosphonate derivs. and hydrolysis to give free acid)
RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)


CH2— CH2— PO3H2
O
O
N
N
H

L11 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:902398 CAPLUS
DOCUMENT NUMBER: 141:380023
TITLE: Preparation of derivatives of 2-(8,9-dioxo-2,6-diazabicyclo(5.2.0)non-1(7)-en-2-yl)alkylphosphonic acid and their use as n-methyl-d-aspartate (nmda) receptor antagonists
INVENTOR(S): Baudy, Reinhardt Bernhard; Butera, John Anthony
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092189	A1	20041028	WO 2004-US10596	20040407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2521313	AA	20041028	CA 2004-2521313	20040407
EP 1611144	A1	20060104	EP 2004-759168	20040407
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				

PRIORITY APPLN. INFO.: US 2003-461490P P 20030409
WO 2004-US10596 W 20040407
OTHER SOURCE(S): CASREACT 141:380023; MARPAT 141:380023
GI



I

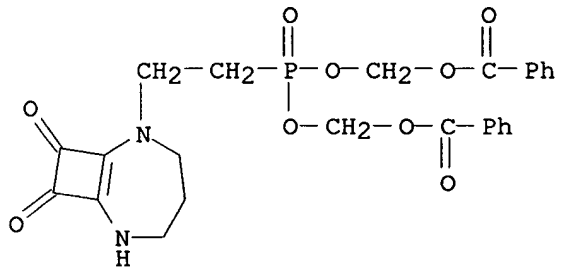
AB Preparation of title compds. I (at least one R2 or R3 is not hydrogen; R1 = H, C1-6 alkyl, C2-7 acyl, C1-6 alkanesulfonyl, C6-14 aroyl; R2, R3 = H, (un)substituted alkylcarboxyalkyl, alkoxycarboxyalkyl, aminocarboxyalkyl; A = C1-4 alkylene, C2-4 alkenylene) or pharmaceutically acceptable salts

thereof are provided. The compds. of the present invention are N-methyl-D-aspartate (NMDA) receptor antagonists and are useful in treating a variety of conditions present in a mammal that benefit from inhibiting the NMDA receptor. Thus, reaction of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid with benzoic acid chloromethyl ester in DMF in the presence of N,N-diisopropylethylamine gave 99% title compound, 3-{2-[8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl]ethyl}-3-oxido-7-oxo-7-phenyl-2,4,6-trioxa-3-phosphahept-1-yl benzoate.

IT **780765-59-5P**
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of derivs. of dioxodiazabicyclononenalkylphosphonic acid and their use as Me aspartate NMDA receptor antagonists)

RN 780765-59-5 CAPLUS

CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, bis[(benzoyloxy)methyl] ester (9CI) (CA INDEX NAME)

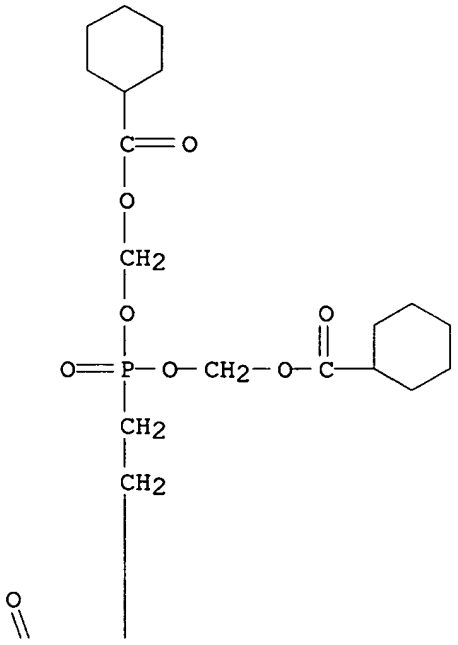


IT **780765-63-1P 780765-64-2P 780765-66-4P 780765-67-5P 782452-07-7P 782452-08-8P 782452-09-9P 782452-10-2P 782452-11-3P 782452-12-4P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of derivs. of dioxodiazabicyclononenalkylphosphonic acid and their use as Me aspartate NMDA receptor antagonists)

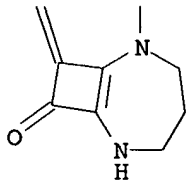
RN 780765-63-1 CAPLUS

CN Cyclohexanecarboxylic acid, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-6-yl)ethyl]phosphinylidene]bis(oxymethylene) ester (9CI) (CA INDEX NAME)

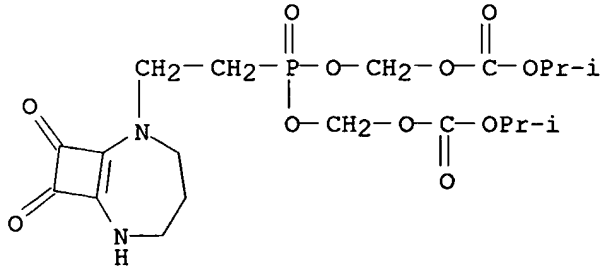
PAGE 1-A



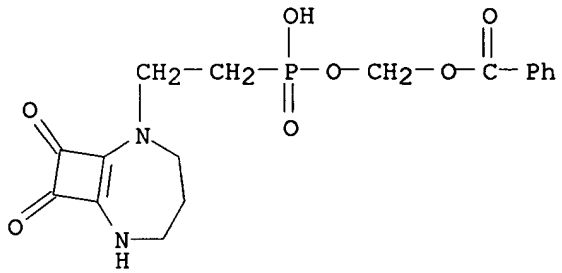
PAGE 2-A



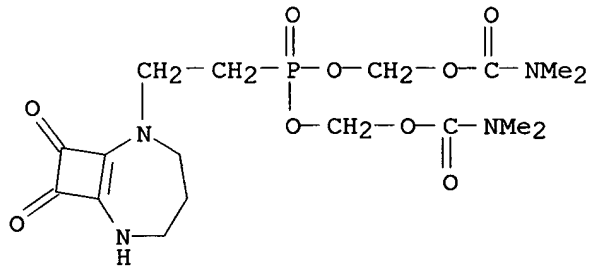
RN 780765-64-2 CAPLUS
CN 2,4,6,8-Tetraoxa-5-phosphanonanedioic acid, 5-[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, bis(1-methylethyl) ester, 5-oxide (9CI) (CA INDEX NAME)



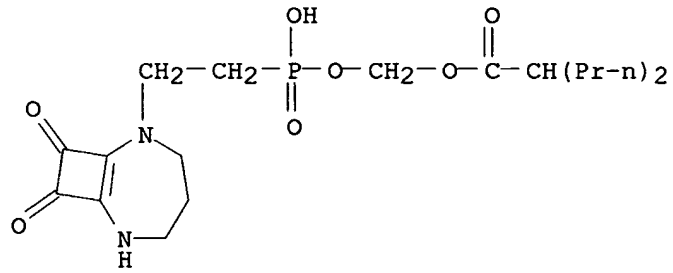
RN 780765-66-4 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, mono[(benzoyloxy)methyl] ester (9CI) (CA INDEX NAME)



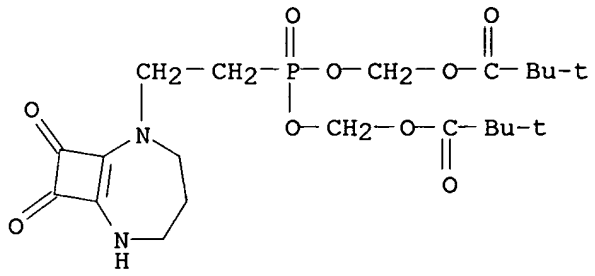
RN 780765-67-5 CAPLUS
CN Carbamic acid, dimethyl-, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphinylidene]bis(oxymethylene) ester (9CI) (CA INDEX NAME)



RN 782452-07-7 CAPLUS
CN Pentanoic acid, 2-propyl-, [[[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]hydroxyphosphinyl]oxy]methyl ester (9CI) (CA INDEX NAME)

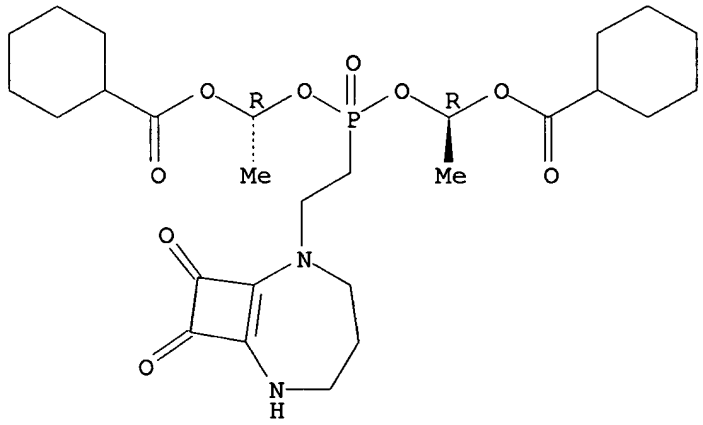


RN 782452-08-8 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphinylidene]bis(oxymethylene) ester (9CI) (CA INDEX NAME)



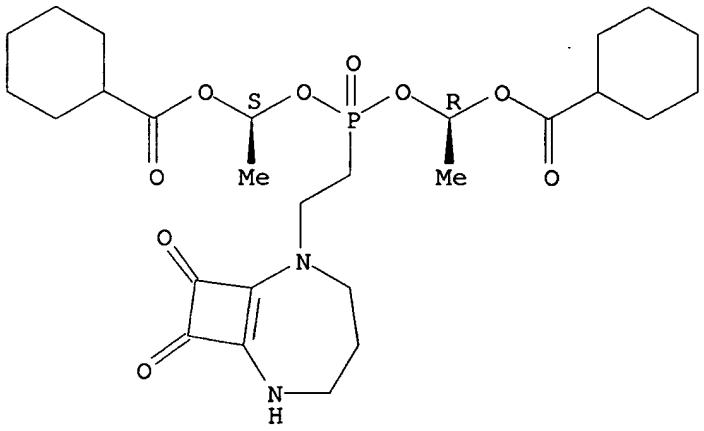
RN 782452-09-9 CAPLUS
CN Cyclohexanecarboxylic acid, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphinylidene]bis[oxy-(1R)-ethylidene] ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



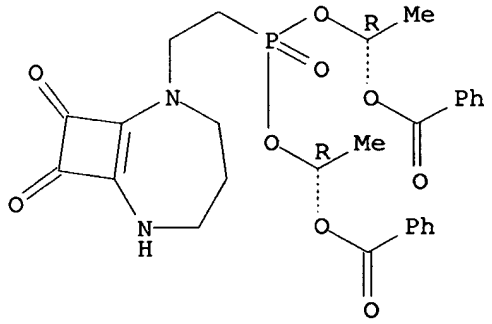
RN 782452-10-2 CAPLUS
CN Cyclohexanecarboxylic acid, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphinylidene]bis(oxyethylidene) ester, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



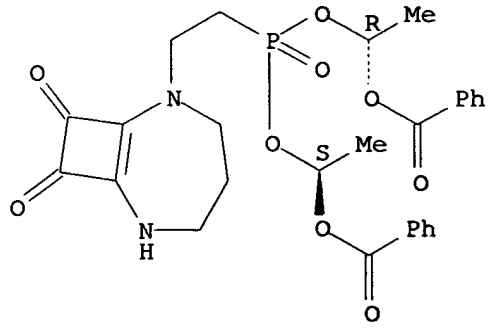
RN 782452-11-3 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, bis[(1R)-1-(benzoyloxy)ethyl] ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

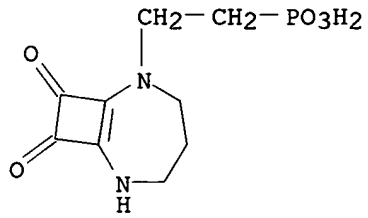


RN 782452-12-4 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, (1R)-1-(benzoyloxy)ethyl (1S)-1-(benzoyloxy)ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **144912-63-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of derivs. of dioxodiazabicyclononenalkylphosphonic acid and their use as Me aspartate NMDA receptor antagonists)
RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:902198 CAPLUS
DOCUMENT NUMBER: 141:370576
TITLE: Intranasal pharmaceutical compositions containing [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)alkyl]phosphonic acid and its derivatives
INVENTOR(S): Benjamin, Eric Joel; Baudy, Reinhardt Bernhard; Brandt, Michael Richard
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004091633	A1	20041028	WO 2004-US11668	20040407
WO 2004091633	C1	20050113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2521394	AA	20041028	CA 2004-2521394	20040407
EP 1622625	A1	20060208	EP 2004-759562	20040407
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				

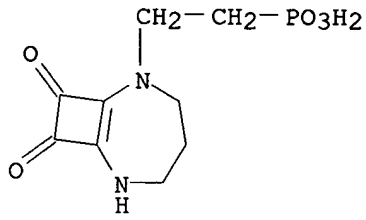
PRIORITY APPLN. INFO.: US 2003-461571P P 20030409
WO 2004-US11668 W 20040407

OTHER SOURCE(S): MARPAT 141:370576

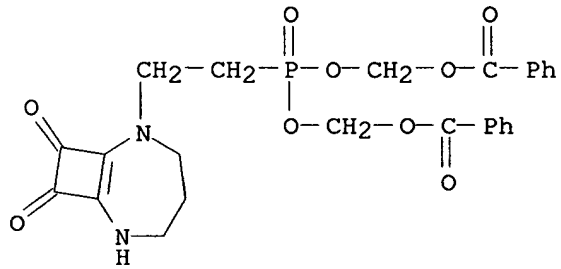
AB Pharmaceutical compns. for intranasal administration contain the title compound or a salt thereof, and 1 or more additives for forming a composition for intranasal administration. Also provided are methods of treating conditions in a mammal associated with a glutamate abnormality that includes administering intranasally to a mammal a therapeutically effective amount of the above compds. Thus, a nasal solution contained [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid 30.0 and EDTA 0.10 g, 5N NaOH solution 37 and water 50 mL.

IT **144912-63-0**
RL: PKT (Pharmacokinetics); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(intranasal pharmaceutical compns. containing dioxo(diazabicyclononenyl)alkylphosphonic acid and its derivs.)

RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)

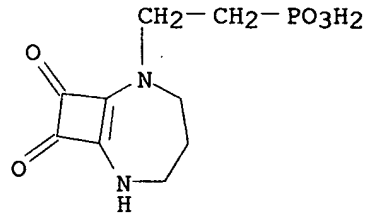


IT **780765-59-5P**
RL: PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(intranasal pharmaceutical compns. containing dioxo(diazabicyclononenyl)alkylphosphonic acid and its derivs.)
RN 780765-59-5 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, bis[(benzoyloxy)methyl] ester (9CI) (CA INDEX NAME)



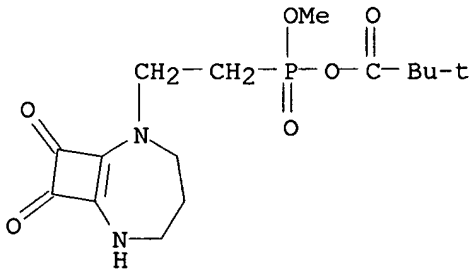
a)

IT **780765-60-8 780765-61-9 780765-62-0**
780765-63-1 780765-64-2 780765-65-3
780765-66-4 780765-67-5 780765-68-6
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(intranasal pharmaceutical compns. containing dioxo(diazabicyclononenyl)alkylphosphonic acid and its derivs.)
RN 780765-60-8 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, sodium salt (9CI) (CA INDEX NAME)



●x Na

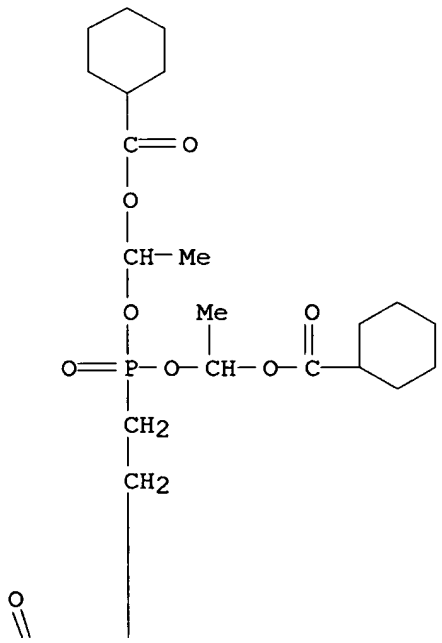
RN 780765-61-9 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, anhydride with methyl hydrogen [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonate (9CI) (CA INDEX NAME)



c)

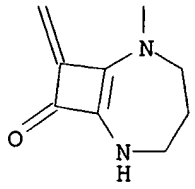
RN 780765-62-0 CAPLUS
CN Cyclohexanecarboxylic acid, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-6-yl)ethyl]phosphinylidene]bis(oxyethylidene) ester (9CI) (CA INDEX NAME)

PAGE 1-A



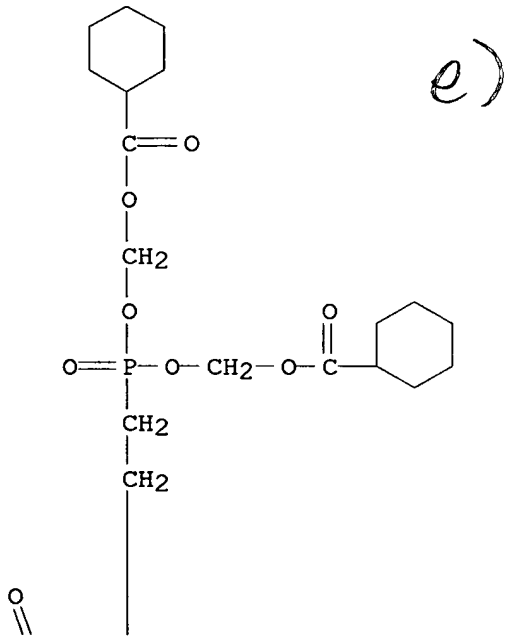
c')

PAGE 2-A

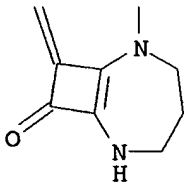


RN 780765-63-1 CAPLUS
CN Cyclohexanecarboxylic acid, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-6-yl)ethyl]phosphinylidene]bis(oxymethylene) ester (9CI) (CA INDEX NAME)

PAGE 1-A

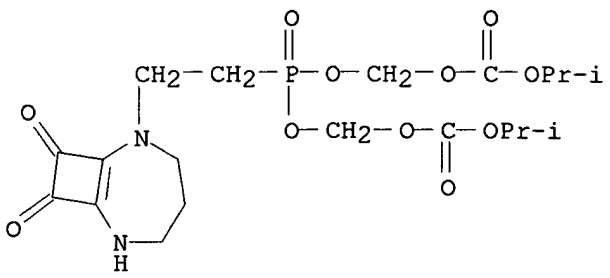


PAGE 2-A



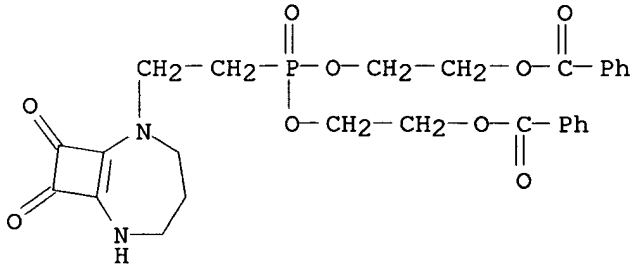
RN 780765-64-2 CAPLUS
CN 2,4,6,8-Tetraoxa-5-phosphanonanedioic acid, 5-[2-(8,9-dioxo-2,6-

diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, bis(1-methylethyl) ester, 5-oxide (9CI) (CA INDEX NAME)



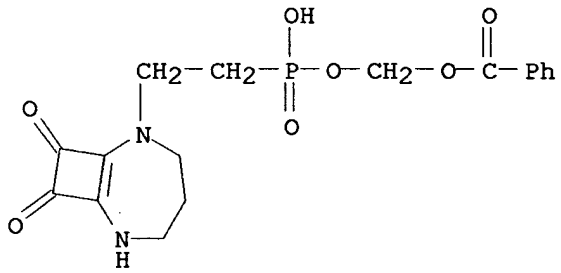
f)

RN 780765-65-3 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, bis[2-(benzoyloxy)ethyl] ester (9CI) (CA INDEX NAME)



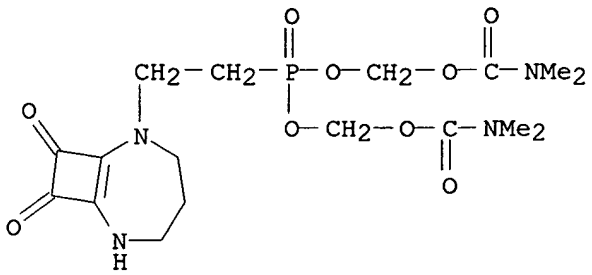
g)

RN 780765-66-4 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, mono[(benzoyloxy)methyl] ester (9CI) (CA INDEX NAME)

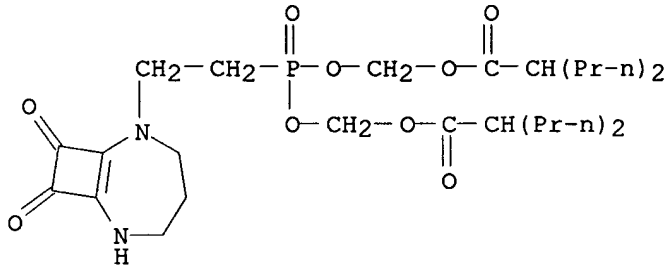


h)

RN 780765-67-5 CAPLUS
CN Carbamic acid, dimethyl-, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphinylidene]bis(oxymethylene) ester (9CI) (CA INDEX NAME)



RN 780765-68-6 CAPLUS
CN Pentanoic acid, 2-propyl-, [[2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphinylidene]bis(oxymethylene) ester (9CI) (CA INDEX NAME)

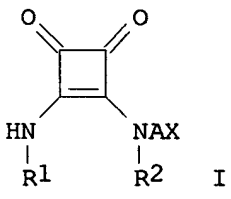


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:301059 CAPLUS
DOCUMENT NUMBER: 138:314606
TITLE: [[2-(amino-3,4-dioxo-1-cyclobuten-1-yl)amino]alkyl]-acid derivatives for the treatment of pain
INVENTOR(S): Brandt, Michael Richard; Zaleska, Margaret Maria; Moyer, John Allen
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031416	A2	20030417	WO 2002-US32252	20021009
WO 2003031416	A3	20030814		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2461348	AA	20030417	CA 2002-2461348	20021009
US 2003114444	A1	20030619	US 2002-267159	20021009
EP 1434588	A2	20040707	EP 2002-789180	20021009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013237	A	20040928	BR 2002-13237	20021009
JP 2005508950	T2	20050407	JP 2003-534400	20021009
NO 2004001378	A	20040528	NO 2004-1378	20040402
PRIORITY APPLN. INFO.:			US 2001-328245P P	20011010
			WO 2002-US32252 W	20021009

OTHER SOURCE(S): MARPAT 138:314606
GI



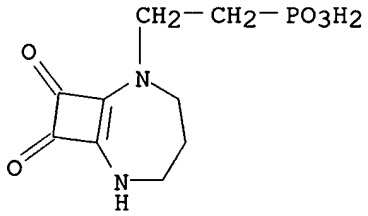
I

AB The invention provides a method for treating pain in a mammal that includes administering I [R1 = H, C1-6 alkyl, C7-12 phenylalkyl; R2 = H, C1-6 alkyl, C2-6 alkenyl, C7-12 phenylalkyl; or R1 and R2 taken together

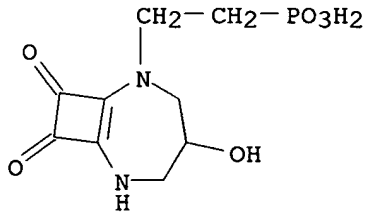
as Z are CH2CH2, CH2C(R6)(R7)CH2, CH2C(R8)(R9)C(R10)(R11)CH2; R6, R8, R10 = H, C1-6 alkyl, OH; R7, R9, R11 = H, C1-6 alkyl; A = C1-6 alkylene, C2-6 alkenylene; X = CO2R3, P(O)(OR4)(OR5), 3,5-dioxo-1,2,4-oxadiazolidin-2-yl, 5-tetrazolyl; R3, R4, R5 = H, C1-6 alkyl], or a pharmaceutically acceptable salt thereof. Also provided are compns. for treating pain containing I.

IT **144912-63-0 144912-64-1 144912-67-4 144912-69-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aminodioxocyclobutenyl derivs. for treatment of pain)

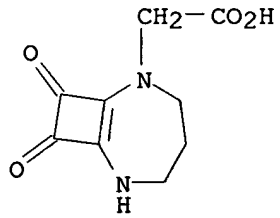
RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 144912-64-1 CAPLUS
CN Phosphonic acid, [2-(4-hydroxy-8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)

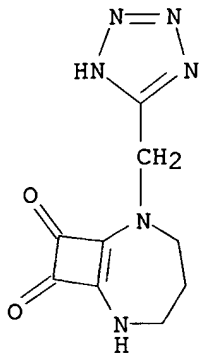


RN 144912-67-4 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-2-acetic acid, 8,9-dioxo- (9CI) (CA INDEX NAME)



RN 144912-69-6 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-8,9-dione, 2-(1H-tetrazol-5-ylmethyl)-

(9CI) (CA INDEX NAME)



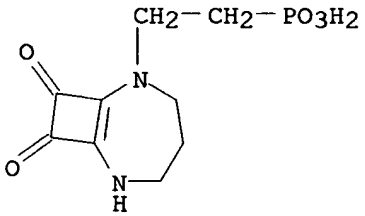
L11 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:748347 CAPLUS
DOCUMENT NUMBER: 131:337040
TITLE: Preparation of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid
INVENTOR(S): Asselin, Andre A.; Kinney, William A.; Schmid, Jean
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5990307	A	19991123	US 1998-127202		19980731
US 6011168	A	20000104	US 1999-375345		19990816
PRIORITY APPLN. INFO.:			US 1997-54553P	P	19970801
			US 1998-127202	A3	19980731

OTHER SOURCE(S): CASREACT 131:337040

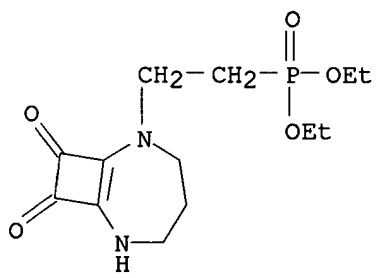
AB [2-(8,9-Dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid (I) was prepared by reaction of Me3CO2CNH(CH2)3NH2 with a dialkyl vinylphosphonate to obtain N-[3-(t-butyloxycarbonylamino)propyl]-2-aminoethylphosphonic acid dialkyl ester in 80% yield. Reaction of the latter with a 3,4-dialkoxycyclobut-3-en-1,2-dione gave [3-[[2-(dialkoxyphosphoryl)ethyl]-(2-alkoxy-3,4-dioxo-1,2-cyclobuten-1-yl)amino]propyl]carbamic acid 1,1-dimethylethyl ester in 96% yield. Deprotection and cyclization of this in CF3CO2H gave [2-((8,9)-dioxo-2,6-diazabicyclo[5.2.0]-non-1(7)-en-2-yl)ethyl]phosphonic acid dialkyl ester in 58% yield; treatment with BrSiMe3 gave I in 38.8% overall yield.

IT **144912-63-0P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid)
RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



IT **144912-83-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid)
RN 144912-83-4 CAPLUS

CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, diethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

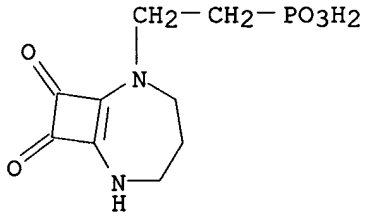
L11 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:113692 CAPLUS
DOCUMENT NUMBER: 130:153793
TITLE: Preparation of [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid
INVENTOR(S): Asselin, Andre Alfred; Kinney, William Alvin; Schmid, Jean
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906417	A1	19990211	WO 1998-US15841	19980731
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2297411	AA	19990211	CA 1998-2297411	19980731
AU 9886037	A1	19990222	AU 1998-86037	19980731
AU 746119	B2	20020418		
EP 1000072	A1	20000517	EP 1998-937292	19980731
EP 1000072	B1	20030219		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9811807	A	20000815	BR 1998-11807	19980731
JP 2001512129	T2	20010821	JP 2000-505174	19980731
NZ 502509	A	20020828	NZ 1998-502509	19980731
AT 232875	E	20030315	AT 1998-937292	19980731
RU 2205834	C2	20030610	RU 2000-105273	19980731
ES 2190091	T3	20030716	ES 1998-937292	19980731
CN 1526714	A	20040908	CN 2003-10120120	19980731
NO 2000000488	A	20000131	NO 2000-488	20000131
HK 1027814	A1	20030516	HK 2000-107097	20001108
PRIORITY APPLN. INFO.:			US 1997-905091 A	19970801
			WO 1998-US15841 W	19980731

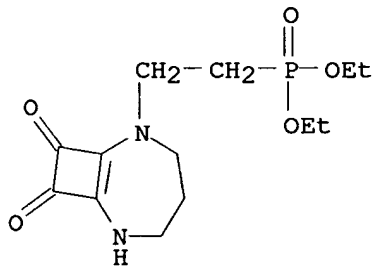
AB The title compound (I), an NMDA antagonist, was useful as an anticonvulsant and neuroprotectant in situations involving excess release of excitatory amino acids. 3-Aminopropylcarbamic acid 1,1-dimethyl-Et ester was treated with a dialkyl vinylphosphonate (alkyl = Me, Et) to obtain N-[3-(tert-butyloxycarbonylamino)propyl]-2-aminoethylphosphonic acid dialkyl ester (II) in 80% yield. Reaction of II with 3,4-diethoxycyclobut-3-ene-1,2-dione gave [3-[[2-(dialkoxyphosphoryl)ethyl]-(2-alkoxy-3,4-dioxo-1,2-cyclobuten-1-yl)amino]propyl]carbamic acid 1,1-dimethylethyl ester (III) in 96% yield. Deprotection and cyclization of III in HO2CCF3 gives [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid dialkyl ester (IV) in 58% yield. Compound IV was treated with bromotrimethylsilane to give I.

IT **144912-63-0P**

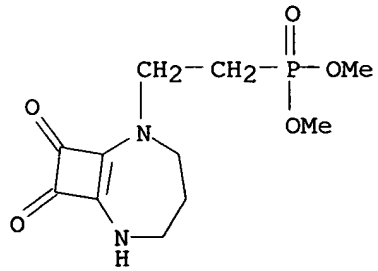
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



IT **144912-83-4P 220288-14-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [(dioxodiazabicyclo[5.2.0]nonenyl)ethyl]phosphonic acid esters)
RN 144912-83-4 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

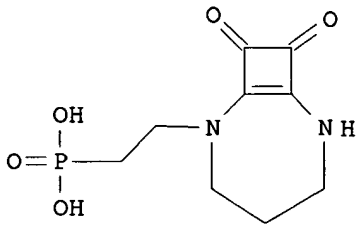


RN 220288-14-2 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, dimethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:9157 CAPLUS
DOCUMENT NUMBER: 128:75452
TITLE: Design and Synthesis of [2-(8,9-Dioxo-2,6-diazabicyclo[5.2.0]nonl(7)-en-2-yl)ethyl]phosphonic Acid (EAA-090), a Potent N-Methyl-D-aspartate Antagonist, via the Use of 3-Cyclobutene-1,2-dione as an Achiral α-Amino Acid Bioisostere
AUTHOR(S): Kinney, William A.; Abou-Gharbia, Magid; Garrison, Deanna T.; Schmid, Jean; Kowal, Dianne M.; Bramlett, Donna R.; Miller, Tracy L.; Tasse, Rene P.; Zaleska, Margaret M.; Moyer, John A.
CORPORATE SOURCE: Chemical Sciences CNS Disorders Divisions, Wyeth-Ayerst Research, Princeton, NJ, 08543-8000, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(2), 236-246
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:75452
GI



I

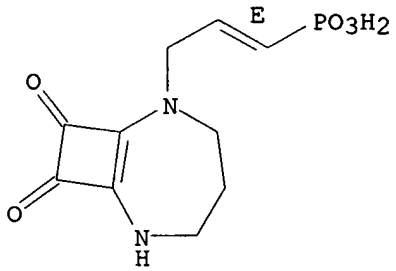
AB The diazabicyclic amino acid phosphonate I, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid, was identified as a potent NMDA antagonist. It contains the α-amino acid bioisostere 3,4-diamino-3-cyclobutene-1,2-dione and an addnl. ring for conformational rigidity. I was as potent as CGS-19755 in the [3H]CPP binding assay, the stimulated [3H]TCP binding assay, and the NMDA-induced lethality model in mice. A single bolus dose of I, administered i.v. following permanent occlusion of middle cerebral artery (MCA) in the rat, reduced the size of infarcted tissue by 57%. Structure-activity relationship (SAR) studies have indicated that the six- and eight-membered ring derivs. had diminished activity and that the two-carbon side chain length was optimum for NMDA receptor affinity. Substitution on the ring was counterproductive in the case of sterically demanding di-Me groups and of no consequence in the case of an H-bonding hydroxyl group. Replacement of the phosphonic acid group by either a carboxylic acid or a tetrazole group was unproductive. The potent bicyclic NMDA antagonists were synthesized efficiently by virtue of their achiral nature and the ease of vinylogous amide formation from squaric acid esters. I, being a unique NMDA antagonist structural type with a favorable preclin. profile, may offer advantages over existing NMDA antagonists for the treatment of neurol. disorders such as stroke and head trauma. I is currently under clin. evaluation as a neuroprotective agent for stroke.

IT **144912-54-9P 144912-55-0P 144912-64-1P**
144912-65-2P 144912-66-3P 144912-69-6P

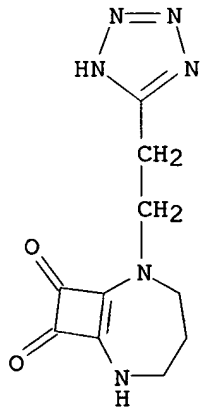
144913-00-8P 144913-01-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design and synthesis of [(dioxodiazabicyclononenyl)ethyl]phosphonic acid as a potent NMDA antagonist via use of cyclobutenedione as achiral amino acid bioisostere)

RN 144912-54-9 CAPLUS
CN Phosphonic acid, [3-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

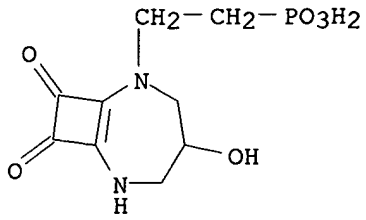
Double bond geometry as shown.



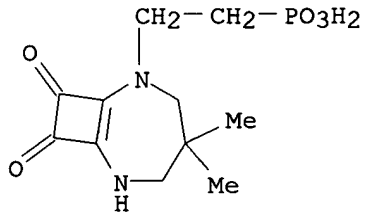
RN 144912-55-0 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-8,9-dione, 2-[2-(1H-tetrazol-5-yl)ethyl]- (9CI) (CA INDEX NAME)



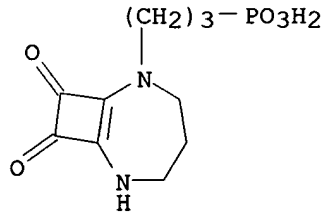
RN 144912-64-1 CAPLUS
CN Phosphonic acid, [2-(4-hydroxy-8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



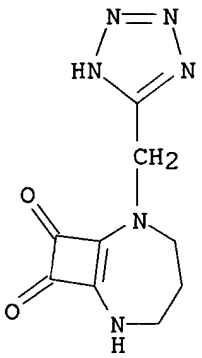
RN 144912-65-2 CAPLUS
CN Phosphonic acid, [2-(4,4-dimethyl-8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



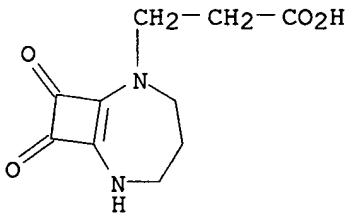
RN 144912-66-3 CAPLUS
CN Phosphonic acid, [3-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)propyl]- (9CI) (CA INDEX NAME)



RN 144912-69-6 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-8,9-dione, 2-(1H-tetrazol-5-ylmethyl)- (9CI) (CA INDEX NAME)

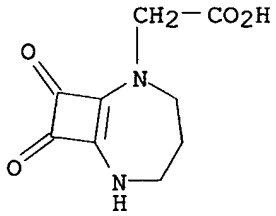


RN 144913-00-8 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-2-propanoic acid, 8,9-dioxo-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 144913-01-9 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-2-acetic acid, 8,9-dioxo-, monosodium salt (9CI) (CA INDEX NAME)



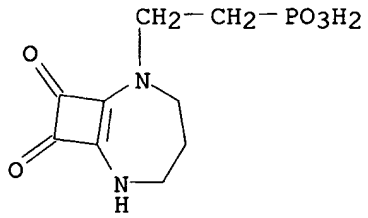
● Na

IT **144912-63-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design and synthesis of [(dioxodiazabicyclononenyl)ethyl]phosphonic

acid as a potent NMDA antagonist via use of cyclobutenedione as achiral amino acid bioisostere)

RN 144912-63-0 CAPLUS

CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)



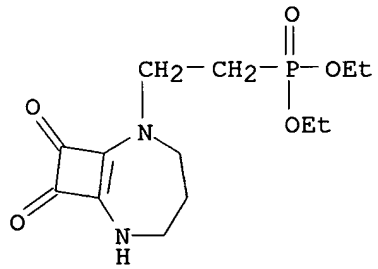
IT **144912-83-4P 144912-87-8P 144912-92-5P 144912-99-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design and synthesis of [(dioxodiazabicyclononenyl)ethyl]phosphonic acid as a potent NMDA antagonist via use of cyclobutenedione as achiral amino acid bioisostere)

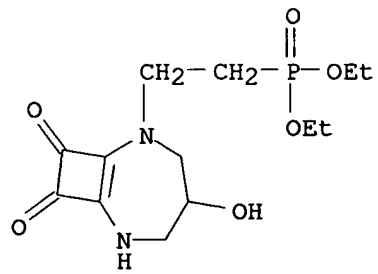
RN 144912-83-4 CAPLUS

CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

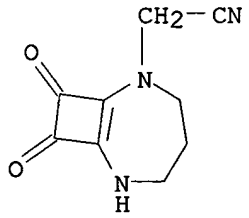


RN 144912-87-8 CAPLUS

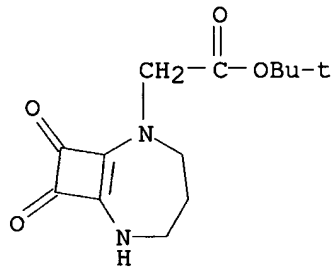
CN Phosphonic acid, [2-(4-hydroxy-8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 144912-92-5 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-2-acetonitrile, 8,9-dioxo- (9CI) (CA INDEX NAME)



RN 144912-99-2 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-2-acetic acid, 8,9-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

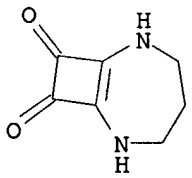


REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:5455 CAPLUS
DOCUMENT NUMBER: 126:74494
TITLE: Reaction of 3-morpholino-4-butoxy-3-cyclobutene-1,2-dione with amines
AUTHOR(S): Chen, Yizhao; Li, Jucai; Li, Wenzao; Yu, Lingzhuang; Hen, Linsen; Peng, Daquan
CORPORATE SOURCE: Dept. of Chemistry, Sichuan Univ., Chengdu, 610064, Peop. Rep. China
SOURCE: Sichuan Daxue Xuebao, Ziran Kexueban (1996), 33(3), 302-306
CODEN: SCTHAO; ISSN: 0490-6756
PUBLISHER: Sichuan Daxue Xuebao Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB When 3-morpholino-4-butoxy-3-cyclobutene-1,2-dione (I) reacted with amines, the reaction products were different depending on the nature of amines and the reaction conditions. In general, aminolysis of ester group took place, amino groups entered into the ortho position of 3-cyclobutene-1,2-dione. When 1,3-diaminopropanne or m-aminophenol reacted with I, transamination of squaraines in intramol. and intermol. occurred in addition to aminolysis. The products formed in the reaction of o-aminophenol and o-phenylenediamine with I were not 1,2- rather 1,3-substituted squaramides.

IT **66086-41-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(reaction of morpholino(butoxy)cyclobutenedione with amines)
RN 66086-41-7 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-8,9-dione (9CI) (CA INDEX NAME)

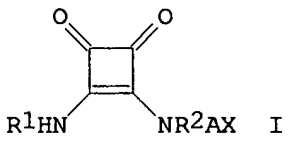


L11 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:39407 CAPLUS
DOCUMENT NUMBER: 118:39407
TITLE: Preparation of [[(2-amino-3,4-dioxo-1-cyclobuten-1-yl)amino]alkyl]carboxylic acid derivatives as N-methyl-D-aspartate (NMDA) antagonists
INVENTOR(S): Kinney, William Alvin; Garrison, Deanna Colette
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 496561	A2	19920729	EP 1992-300472	19920120
EP 496561	A3	19921223		
EP 496561	B1	19950315		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
US 5168103	A	19921201	US 1991-806861	19911217
IL 100679	A1	19961031	IL 1992-100679	19920116
AU 9210301	A1	19920730	AU 1992-10301	19920117
AU 639629	B2	19930729		
ZA 9200358	A	19930719	ZA 1992-358	19920117
SK 280268	B6	19991008	SK 1992-144	19920117
CZ 286407	B6	20000412	CZ 1992-144	19920117
CA 2059704	AA	19920723	CA 1992-2059704	19920120
CA 2059704	C	20020716		
JP 04321654	A2	19921111	JP 1992-7385	19920120
JP 3167770	B2	20010521		
AT 119873	E	19950415	AT 1992-300472	19920120
ES 2071428	T3	19950616	ES 1992-300472	19920120
RU 2039035	C1	19950709	RU 1992-5010645	19920120
FI 9200261	A	19920823	FI 1992-261	19920121
FI 105551	B1	20000915		
HU 61970	A2	19930329	HU 1992-192	19920121
HU 215838	B	20000628		
KR 206055	B1	19990701	KR 1992-780	19920121
US 5240946	A	19930831	US 1992-875925	19920429

PRIORITY APPLN. INFO.: US 1991-644157 A 19910122
US 1991-806861 A 19911217
CS 1992-144 A 19920117

OTHER SOURCE(S): MARPAT 118:39407
GI



I

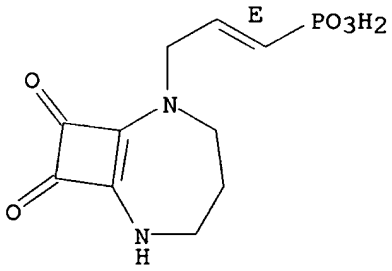
AB Title compds. [I; R1 = H, (phenyl)alkyl; R2 = R1, alkenyl; or R1R2 = CH2CH2, CH2CR6R7CH2, CH2CR8R9CR10R11CH2; R6, R8, R10 = H, alkyl, OH; R7, R9, R11 = H, alkyl; A = alkylene, alkenylene; X = CO2R3, P(O)(OR4)OR5, 3,5-dioxo-1,2,4-oxazolidin-2-yl, 5-tetrazolyl; R3, R4, R5 = H, alkyl], were prepared Thus, H2NCH2CH(OH)CH2NH2 was treated with O(CO2CMe3)2 in MeCN to give H2NCH2CH(OH)CH2NHCO2CMe3. The latter was condensed with BrCH2CH2P(O)(OEt)2 using Na2CO3 in EtOH to give (EtO)2P(O)CH2CH2NHCH2CH(OH)CH2NHCO2CMe3. This was condensed with 3,4-diethoxy-3-cyclobutene-1,2-dione in EtOH to give 3-[N-[2-(diethoxyphosphinyl)ethyl]-N-(2-ethoxy-3,4-dioxo-1-cyclobuten-1-yl)amino]-2-hydroxypropyl]carbamic acid 1,1-dimethylethyl ester. This was stirred with HCO2H and the residue was refluxed with EtN(CHMe2)2 in EtOH to give [2-(4-hydroxy-8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid di-Et ester. This was refluxed with Me3SiBr in ClCH2CH2Cl to give [2-(4-hydroxy-8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]phosphonic acid. The latter inhibited N-methyl-D-aspartate-induced lethality in mice with ED50 = 1.8 ng/kg.

IT **144912-54-9P 144912-55-0P 144912-63-0P**
144912-64-1P 144912-65-2P 144912-66-3P
144912-67-4P 144912-68-5P 144912-69-6P
144913-00-8P 144913-01-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as NMDA antagonist)

RN 144912-54-9 CAPLUS

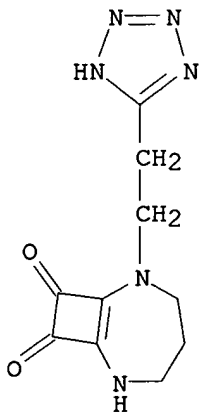
CN Phosphonic acid, [3-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)-1-propenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown. col. 17, line 66-67



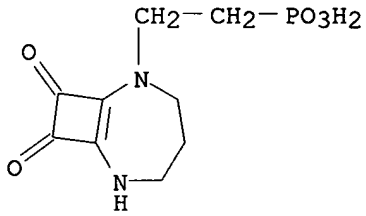
RN 144912-55-0 CAPLUS

CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-8,9-dione, 2-[2-(1H-tetrazol-5-yl)ethyl]- (9CI) (CA INDEX NAME)



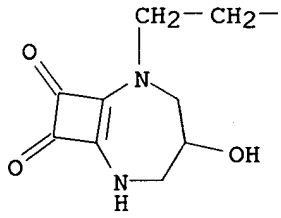
RN 144912-63-0 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)

Ex 8



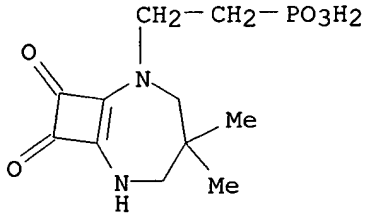
RN 144912-64-1 CAPLUS
CN Phosphonic acid, [2-(4-hydroxy-8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)

Ex 9



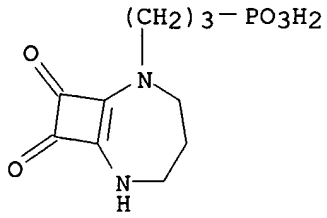
RN 144912-65-2 CAPLUS
CN Phosphonic acid, [2-(4,4-dimethyl-8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]- (9CI) (CA INDEX NAME)

col. 17, line 50-53

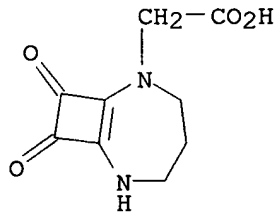


RN 144912-66-3 CAPLUS
CN Phosphonic acid, [3-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)propyl]- (9CI) (CA INDEX NAME)

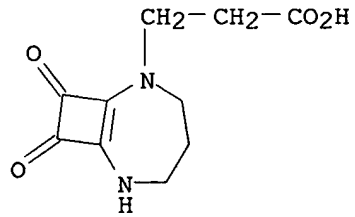
col. 17, line 57-59



RN 144912-67-4 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-2-acetic acid, 8,9-dioxo- (9CI) (CA INDEX NAME)

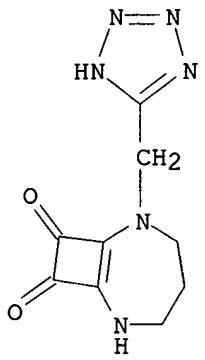


RN 144912-68-5 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-2-propanoic acid, 8,9-dioxo- (9CI) (CA INDEX NAME)

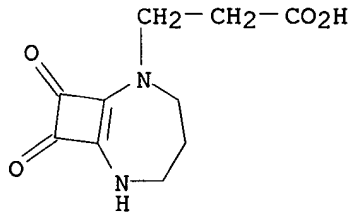


RN 144912-69-6 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-8,9-dione, 2-(1H-tetrazol-5-ylmethyl)-

(9CI) (CA INDEX NAME)

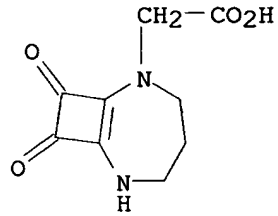


RN 144913-00-8 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-2-propanoic acid, 8,9-dioxo-, monosodium salt (9CI) (CA INDEX NAME)



● Na

RN 144913-01-9 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-2-acetic acid, 8,9-dioxo-, monosodium salt (9CI) (CA INDEX NAME)

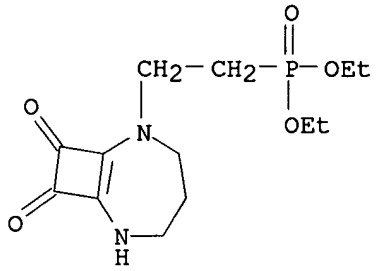


● Na

IT **144912-83-4P 144912-87-8P 144912-92-5P 144912-99-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)

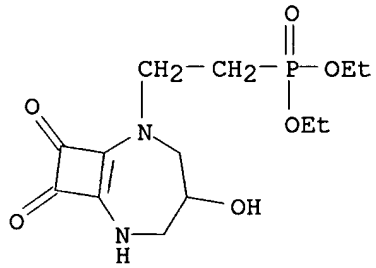
(preparation of, as intermediate for NMDA antagonists)
RN 144912-83-4 CAPLUS
CN Phosphonic acid, [2-(8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

col. 15, line 54-55

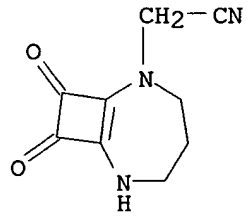


RN 144912-87-8 CAPLUS
CN Phosphonic acid, [2-(4-hydroxy-8,9-dioxo-2,6-diazabicyclo[5.2.0]non-1(7)-en-2-yl)ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

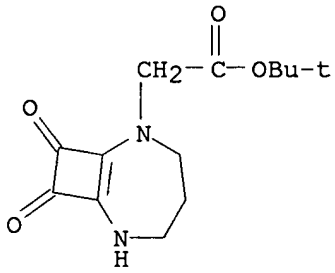
col. 17, line 16-17



RN 144912-92-5 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-2-acetonitrile, 8,9-dioxo- (9CI) (CA INDEX NAME)



RN 144912-99-2 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-2-acetic acid, 8,9-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)


O
CH2
C
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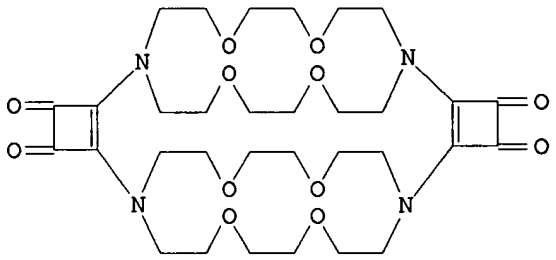
H

L11 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:152588 CAPLUS
DOCUMENT NUMBER: 88:152588
TITLE: Ligand structure and complexation, XIV. Squaric acid and oxalic acid as building blocks of new crown ether amines and cryptands
AUTHOR(S): Voegtle, Fritz; Dix, Peter
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, Fed. Rep. Ger.
SOURCE: Justus Liebigs Annalen der Chemie (1977), (10), 1698-706
CODEN: JLACBF; ISSN: 0075-4617
DOCUMENT TYPE: Journal
LANGUAGE: German
GI

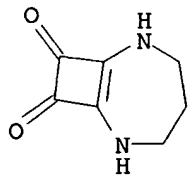


I

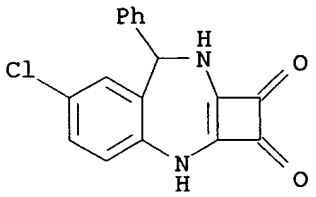
AB Aza crown ethers were prepared from squaric or oxalic acid and alkylenediamines or oxaalkylenediamines. I formed crystalline complexes with alkali metal ions.

IT **66086-41-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

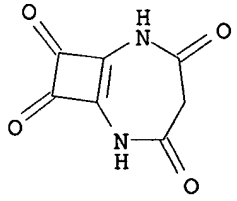
RN 66086-41-7 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-8,9-dione (9CI) (CA INDEX NAME)



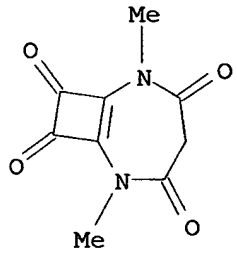
L11 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:579022 CAPLUS
DOCUMENT NUMBER: 83:179022
TITLE: Synthesis of heterocyclic compounds by condensation of 5-chloro-2-aminobenzhydrylamine with C1-C2 reagents
AUTHOR(S): Roth, H. J.; Mensel, H.
CORPORATE SOURCE: Pharm. Inst., Univ. Bonn, Bonn, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1975), 308(7), 557-63
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 83:179022
GI For diagram(s), see printed CA Issue.
AB Condensation of 5,2-Cl(H2N)C6H3CHPhNH2 with RC(OEt)3 (R = Me, Et), ClCOCOCl, and 1,2-dimethoxycyclobutenedione gave quinazolines I, the macrocycle II, and the benzodiazepine III resp.
IT **57050-75-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57050-75-6 CAPLUS
CN 1H-Benzo[e]cyclobuta[b][1,4]diazepine-1,2(3H)-dione, 6-chloro-8,9-dihydro-8-phenyl- (9CI) (CA INDEX NAME)



L11 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1974:133399 CAPLUS
DOCUMENT NUMBER: 80:133399
TITLE: Polycarbonyl compounds. 7. Condensation of squaric acid 1,2-diamides with diethyl malonate
AUTHOR(S): Seitz, G.; Morck, H.
CORPORATE SOURCE: Chem. Inst., Tieraerztl. Hochsch. Hannover, Hanover, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1974), 307(2), 113-16
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Condensation of the amides I (R = H or Me) with CH2(CO2Et)2 (in the case of R = H in the presence of EtONa) at reflux gave the diazabicyclononenes II.
IT **52094-04-9P 52094-06-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 52094-04-9 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-3,5,8,9-tetrone (9CI) (CA INDEX NAME)



RN 52094-06-1 CAPLUS
CN 2,6-Diazabicyclo[5.2.0]non-1(7)-ene-3,5,8,9-tetrone, 2,6-dimethyl- (9CI) (CA INDEX NAME)

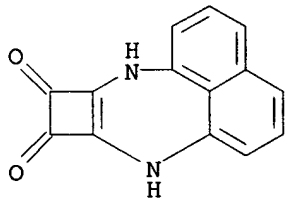


L11 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:29271 CAPLUS
DOCUMENT NUMBER: 76:29271
TITLE: Spectroscopic and structural studies of some oxocarbon condensation products. V. Electronic structures of some cyclobuta[b]quinoxalines
AUTHOR(S): Griffiths, G. T.; Webb, G. A.
CORPORATE SOURCE: Dep. Chem. Phys., Univ. Surrey, Guildford/Surrey, UK
SOURCE: Journal of Molecular Structure (1971), 9(3), 333-42
CODEN: JMOSB4; ISSN: 0022-2860
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The electronic spectra of 12 cyclobuta[b]quinoxalines are reported and compared with electronic-transition energy and oscillator-strength values derived from Pariser-Parr-Pople MO calcns. The effects of nonplanarity on the electronic structures of these mols. are considered.

IT **33471-38-4**
RL: PRP (Properties)
(electron configuration and electronic spectrum of, structure in relation to)

RN 33471-38-4 CAPLUS
CN Cyclobuta[b]naphtho[1,8-e,f][1,4]diazepine-8,9-dione, 7,10-dihydro- (9CI) (CA INDEX NAME)



L11 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:462688 CAPLUS
DOCUMENT NUMBER: 75:62688
TITLE: Spectroscopic and structural studies of some oxocarbon condensation products. IV. Spectroscopic and mass spectral investigation of some derivatives of squaric acid
AUTHOR(S): Griffiths, G. R.; Rowe, M. D.; Webb, G. A.
CORPORATE SOURCE: Dep. Chem. Phys., Univ. Surrey, Guildford/Surrey, UK
SOURCE: Journal of Molecular Structure (1971), 8(3), 363-71
CODEN: JMOSB4; ISSN: 0022-2860
DOCUMENT TYPE: Journal
LANGUAGE: English

AB PMR, vibrational, and mass spectral data for nine mols. derived from squaric acid substantiate the cyclobuta[b] quinoxaline structure for six of the mols., whereas the others are simple derivs. of squaric acid.

IT **33471-38-4**
RL: PRP (Properties)
(spectrum of)
RN 33471-38-4 CAPLUS
CN Cyclobuta[b]naphtho[1,8-e,f][1,4]diazepine-8,9-dione, 7,10-dihydro- (9CI) (CA INDEX NAME)